L Number	Hits	Search Text	DB	Time stamp
1	11446	nicotinamide or nicotinic	USPAT; US-PGPUB	2002/04/30 16:55
2	1503	crf or corticotropin	USPAT; US-PGPUB	2002/04/30 16:56
3	113	(nicotinamide or nicotinic) and (crf or corticotropin)	USPAT; US-PGPUB	2002/04/30 16:57

9/761,995

09/ 761,995

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6	Mar 08	Gene Names now available in BIOSIS
NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12	Apr 08	"Ask CAS" for self-help around the clock
NEWS 13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14	Apr 09	ZDB will be removed from STN
NEWS 15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 18	Apr 22	Federal Research in Progress (FEDRIP) now available

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:12:50 ON 26 APR 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

09/ 761,995

FILE 'REGISTRY' ENTERED AT 10:12:58 ON 26 APR 2002
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STRUCTURE FILE UPDATES: 24 APR 2002 HIGHEST RN 407577-00-8
DICTIONARY FILE UPDATES: 24 APR 2002 HIGHEST RN 407577-00-8

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

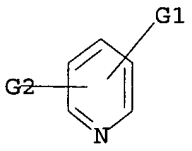
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES
for more information. See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09761995.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,O,S,N
G2 C,O,S,N,X,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:13:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 62952 TO ITERATE

1.6% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.08

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 220259

L2 50 SEA SSS SAM L1

=> s nicotinamid? or nicotinic
9330 NICOTINAMID?
6686 NICOTINIC
L3 15972 NICOTINAMID? OR NICOTINIC

=> s l1 sub=l3

09/ 761,995

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 10:14:39 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 8055 TO ITERATE

100.0% PROCESSED 8055 ITERATIONS 2574 ANSWERS
SEARCH TIME: 00.00.02

L4 2574 SEA SUB=L3 SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	149.42	149.63

FILE 'CAPLUS' ENTERED AT 10:15:04 ON 26 APR 2002
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FILE COVERS 1907 - 26 Apr 2002 VOL 136 ISS 17
FILE LAST UPDATED: 24 Apr 2002 (20020424/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l4
L5 6284 L4

=> s l4/thu
6284 L4
435154 THU/RL
L6 266 L4/THU
(L4 (L) THU/RL)

=> s l5 and phenoxy
19015 PHENOXY
L7 46 L5 AND PHENOXY

=> d l7 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 46 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:185092 CAPLUS
DOCUMENT NUMBER: 136:247598
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.;

09/ 761,995

Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-230480P P 20000906
OTHER SOURCE(S): MARPAT 136:247598
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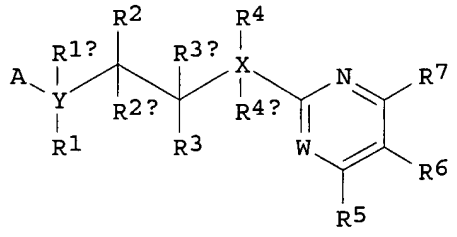

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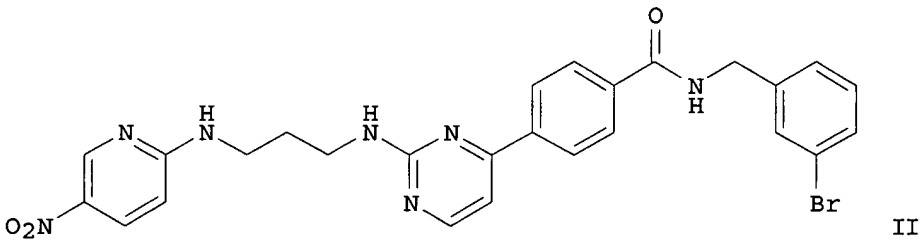


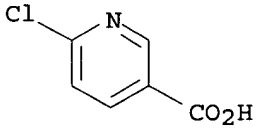
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product

N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **5326-23-8**, 6-Chloronicotinic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 5326-23-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)

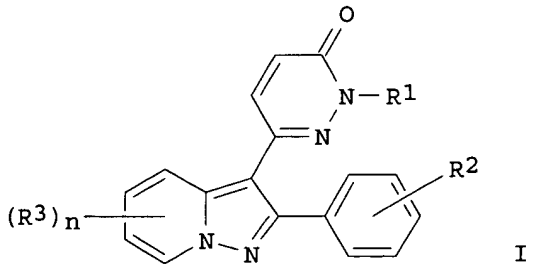


L7 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:171898 CAPLUS
DOCUMENT NUMBER: 136:232298
TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists
INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Ohtake, Hiroaki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018382	A1	20020307	WO 2001-JP7322	20010827

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2000-9698 A 20000828
OTHER SOURCE(S): MARPAT 136:232298
GI



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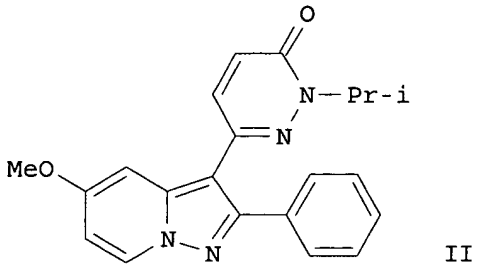


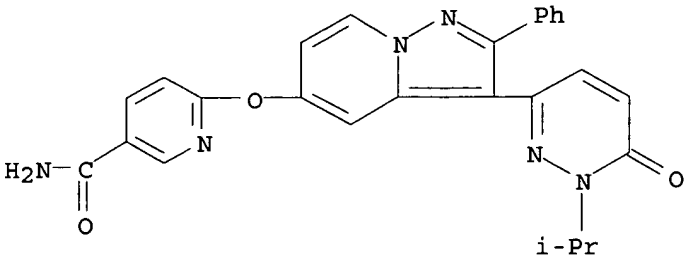
II

AB Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo, or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a salt thereof]. The compds. are adenosine antagonists, and are thus useful for the prevention and/or treatment of a wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or assocd. symptoms is specifically claimed. Over 330 example compds. are described. For instance, cyclization of 1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-(phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compd. was hydrolyzed at the phenylsulfinyl group, and the resultant pyridazinone was N-alkylated with NaH/DMF and iso-PrI to give title compd. II. In radioligand binding assays, II had Ki values of 0.15 nM for human A1 receptors and 1.38 nM for human A2A receptors. In an anticatalepsy test in mice, 6 tested example compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects of haloperidol at 0.32 mg/kg i.p.

IT **403493-20-9P**, 5-(Nicotinamid-6-oxy)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyrazolopyridines as adenosine receptor antagonists)

RN 403493-20-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-[[3-[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]oxy]- (9CI) (CA INDEX NAME)



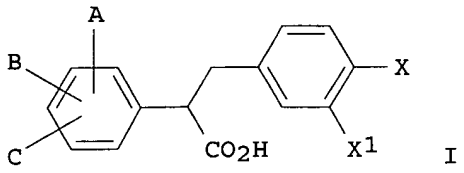
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142657 CAPLUS
DOCUMENT NUMBER: 136:183822
TITLE: Preparation of 2,3-diphenylpropionic acid derivatives or their salts, medicines or cell adhesion inhibitors containing the same, and their usage
INVENTOR(S): Hoshina, Yoichiro; Ikegami, Satoru; Matsuo, Atsushi; Harada, Tatsuhiro; Okuyama, Akihiko
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014262	A1	20020221	WO 2001-JP6934	20010810

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-244226 A 20000811
JP 2001-115840 A 20010413
OTHER SOURCE(S): MARPAT 136:183822
GI



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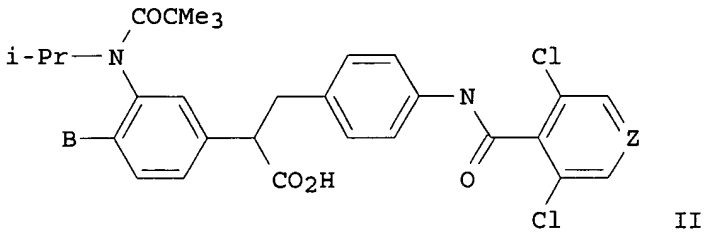


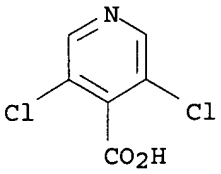
II

AB The title compds. [I; A, B, C = H, halo, NO2, cyano, OH, CO2H, alkyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, alkyloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, alkanoyl, aroyl, heteroaroyl, alkylcarbonyloxy, arylcarbonyloxy, heteroarylcarbonyloxy, alkylthio, arylthio, heteroarylthio, alkylthio, arylthio, heteroarylthio, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylsulfinyl, arylsulfinyl, heteoarylsulfinyl, NR1R2, NR1COR2, NR1SO2R2, NR1CONR2R3, CONR1R2 (wherein R1, R2, R3 = H, alkyl, alkenyl, alkoxy, aryl, aryloxy, heteroaryloxy, or heteroaryl, or R1 and R2 or R2 and R3 are linked to each other to form a (un)substituted ring optionally contg. at least one ring atom selected from O, N, and S and optionally contg. a double bond); or when two of A, B, and C are linked to adjacent carbon atoms, they form a benzene ring or methylenedioxy; X, X1 = H, halo, NO2, cyano, OH, CO2H, alkyl, alkenyl or alkynyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, alkanoyl, aroyl, heteroaroyl, alkylcarbonyloxy, arylcarbonyloxy, heteroarylcarbonyloxy, alkylthio, arylthio, heteroarylthio, heteroaryloxycarbonyl, alkylthio, arylthio, heteroarylthio, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylsulfinyl, arylsulfinyl, heteoarylsulfinyl, NR4R5, NR4COR5, NR4SO2R5, NR4CONR5R6, O2CNR4R5, CONR4R5 (where R4 - R6 group listed in R1 - R3)] or their salts are prepd. Also claimed are cell adhesion inhibitors, integrin VLA-4 (.alpha.4.beta.1) and/or LPAM-1 (.alpha.4.beta.7) antagonists, .alpha.4 integrin inhibitors, or therapeutics or preventives inflammatory diseases related to cell adhesion process contg. I or the salts as the active ingredients. These compds. are superior in oral absorption and in vivo dynamic. Thus, acylation of 3-(4-aminophenyl)-2-[3-[(2,2-dimethylpropionyl)isobutylamino]-4-methoxyphenyl]propionic acid Et ester by 2,6-dichlorobenzoyl chloride in pyridine gave 71% 3-[4-(2,6-dichlorobenzoylamino)phenyl]-2-[3-[(2,2-dimethylpropionyl)isobutylamino]-4-methoxyphenyl]propionic acid Et ester which was sapond. with a mixt. of aq. NaOH, THF, and MeOH followed by acidification with aq. HCl to give 91% 2,3-diphenylpropionic acid deriv. (II; B = MeO, Z = CH) (III). III and II (B = Et, Z = N) inhibited adhesion of myeloid leukemic cells HL-60 expressing VLA-4 to Chinese hamster (CHO) cells expressing human VCAM-1 with IC50 of 2 and 0.1 nM, resp.

IT **13958-93-5P**, 3,5-Dichloropyridine-4-carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2,3-diphenylpropionic acid derivs. or their salts as cell adhesion inhibitors, integrin antagonists or inhibitors, and antiinflammatory agents)

RN 13958-93-5 CAPLUS

CN 4-Pyridinecarboxylic acid, 3,5-dichloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107318 CAPLUS
DOCUMENT NUMBER: 136:151163
TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

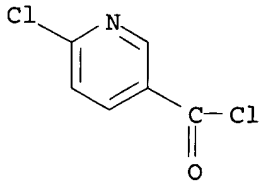
PRIORITY APPLN. INFO.: US 2000-221799P P 20000731

AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together

with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

IT **58757-38-3**, 6-Chloropyridine-3-carbonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of indazole derivs. as JNK enzyme inhibitors)

RN 58757-38-3 CAPLUS
CN 3-Pyridinecarbonyl chloride, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:72070 CAPLUS
DOCUMENT NUMBER: 136:134677
TITLE: Substituted 2-(S)-hydroxy-3-[(piperidin-4-yl-methyl)amino]propyl ethers and substituted 2-aryl-2-(R)-hydroxy-1-(piperidin-4-yl-methyl)ethylamines as beta-3 adrenergic receptor agonists, antidiabetics, and antiobesity agents
INVENTOR(S): Steffan, Robert John; Ashwell, Mark Anthony; Pelletier, Jeffrey Claude; Solvibile, William Ronald; Matelan, Edward Martin
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006255	A2	20020124	WO 2001-US22363	20010716
WO 2002006255	A3	20020321		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

09/ 761,995

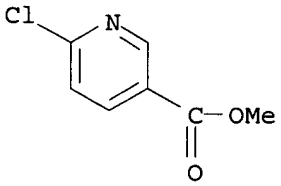
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002037907 A1 20020328 US 2001-903738 20010712
PRIORITY APPLN. INFO.: US 2000-218753P P 20000717
OTHER SOURCE(S): MARPAT 136:134677
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides title compds. I and their pharmaceutically acceptable salts [wherein A = OCH2, bond; R = (un)substituted aryl or certain N/O/S heterocyclyl; R1 = (cyclo)alkyl, alkoxy, (cyclo)alkylamino, (un)substituted aryl, arylamino, arylalkyl, or heterocyclyl; Z = bond, SO2, CO]. I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension, and frequent urination. The compds. are particularly useful in the treatment or inhibition of type II diabetes. They are also useful for increasing lean meat deposition and/or increasing the lean meat to fat ratio in animals, particularly mammals. Approx. 240 individual compds. and addnl. salts were prepd. by either std. or combinatorial methods. For instance, invention compd. II was prepd. by reaction of the (S)-isomeric epoxide III with the corresponding amine. II had an EC50 of 0.001 .mu.M against cloned human .beta.3 adrenoceptors in vitro, with a maximal response comparable to isoproterenol.

IT **73781-91-6**, Methyl 6-chloronicotinate
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; prepn. of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as .beta.3 adrenergic receptor agonists, antidiabetics, and antiobesity agents)

RN 73781-91-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:380578 CAPLUS
DOCUMENT NUMBER: 135:5531
TITLE: Process for the preparation of aryloxypropanolamines from oxiranylmethoxyarenes and pyridinyloxyphenylbutylamines.
INVENTOR(S): Hopkins, Randall Bruce; Hancock, Deana Lori; Quimby, Michael Eugene; Rothhaar, Roger Ryan; Werner, John Arnold; Bush, Julie Kay; Dunlap, Steven Eugene; Fisher, Jack Wayne
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

09/ 761,995

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036412	A1	20010525	WO 2000-US30128	20001113

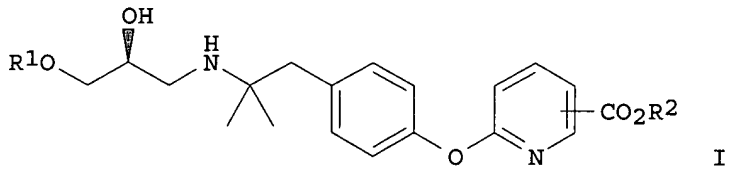
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-165594P P 19991115

OTHER SOURCE(S): MARPAT 135:5531

GI



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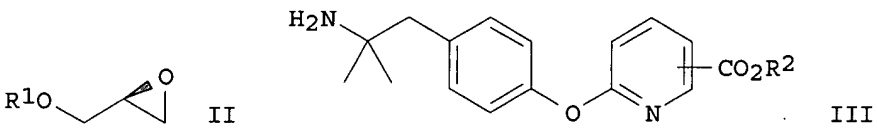


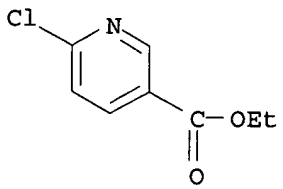
III

AB Title compds. [I; R1 = (substituted) aryl; R2 = alkyl, (substituted) aralkyl], were prepd. by reaction of oxiranylmethoxyarenes (II; R1 = specified aryl) with amines (III; R2 as above) followed by reaction with an acid to form a quaternary ammonium salt, and optional crystn. Thus, 4-[(2S)-oxiranylmethoxy]-1H-indole and Me 2-[4-(2-amino-2-methylpropyl)**phenoxy**]-3-pyridine were heated in MeOH at 70.degree. for 24 h to give 89% Me (S)-2-[4-[2-[2-hydroxy-3-(1H-indol-4-yloxy)propylamino]-2-methylpropyl]**phenoxy**]-3-pyridinecarboxylate (IV) of 86.5% purity. The 2-hydroxyacetate salt of IV was prepd. in 84% yield and 97.5% purity.

IT **49608-01-7**, Ethyl 6-chloronicotinate
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the prepn. of aryloxypropanolamines from oxiranylmethoxyarenes and pyridinyloxyphenylbutylamines)

RN 49608-01-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-chloro-, ethyl ester (9CI) (CA INDEX NAME)



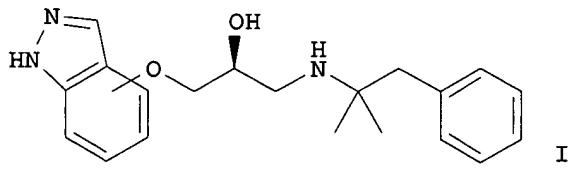
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:380559 CAPLUS
DOCUMENT NUMBER: 135:5614
TITLE: Preparation of indazolyloxypropanolamines for improving livestock production
INVENTOR(S): Hancock, Deana Lori; Hopkins, Randall Bruce; Quimby, Michael Eugene; Wuethrich, Andrew Jason
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036390	A1	20010525	WO 2000-US30129	20001113

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-165593P P 19991115
OTHER SOURCE(S): MARPAT 135:5614
GI



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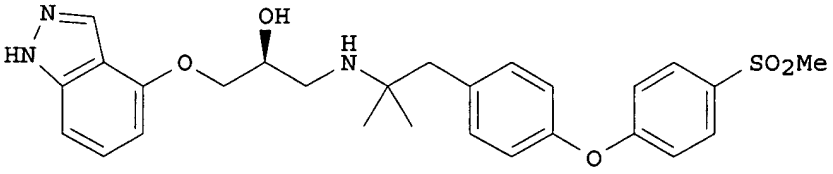
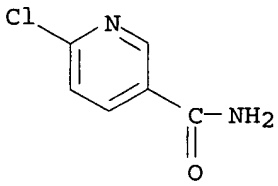


II

AB Title compds. (I; R1, R2 = H, alkyl; all rings may be substituted; with a proviso), were prepd. Thus, (S)-3-(4-indazolyloxy)-1,2-epoxypropane (prepn. given) and [4-(2-amino-2-methylpropyl)**phenoxy**]-4-(methylsulfonyl)benzene (prepn. given) were refluxed 24 h in MeOH to give 31% title compd. (II). II at 40 .mu.g/kg i.v. in calves increased non-esterified fatty acid (NEFA) levels by 1541.9 .mu.mol/L 24 h after administration.

IT **6271-78-9**, 6-Chloronicotinamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indazolyloxypropanolamines for improving livestock prodn.)

RN 6271-78-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro- (9CI) (CA INDEX NAME)

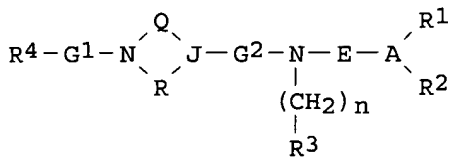


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:265385 CAPLUS
DOCUMENT NUMBER: 134:295739
TITLE: Preparation of N-aryl-N-(heterocyclylalkyl)piperidinec arboxamides as CCR5 antagonists
INVENTOR(S): Imamura, Shinichi; Hashiguchi, Shohei; Hattori, Taeko; Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori; Sugihara, Yoshihiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025200	A1	20010412	WO 2000-JP6755	20000929
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001302633	A2	20011031	JP 2000-302841	20000929

PRIORITY APPLN. INFO.: JP 1999-282088 A 19991001
JP 2000-46749 A 20000218
OTHER SOURCE(S): MARPAT 134:295739
GI



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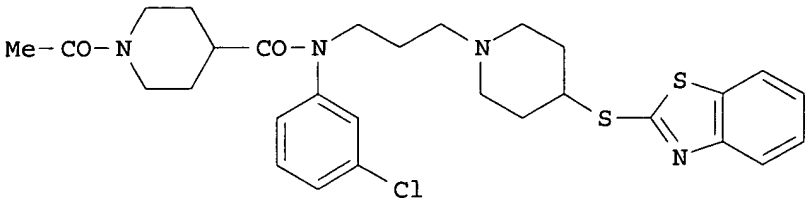


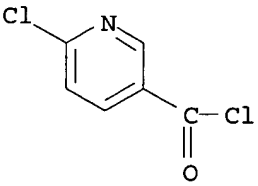
II

AB Title compds. (I) [wherein R1 = H, (un)substituted hydrocarbon or nonarom. heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or N+(R5).bul.Y-; R5 = hydrocarbon; Y- = counteranion; R3 = (un)substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliph. hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, CONH, or OCO; J = CH or N; Q and R = independently a bond or (un)substituted divalent aliph. hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prepd. as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II.bul.HCl was synthesized in 34% yield in a 2-step process involving addn. of TFA to a soln. of 1-tert-butoxycarbonyl-4-(2-benzothiazolylthio)piperidine in CH2Cl2, followed by addn. of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide, K2CO3, and KI to the residue and workup. II.bul.HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addn., 42 example compds. were tested and gave inhibition rates of 82% to 100% at 1.0 .mu.M in a CCR5 antagonistic activity assay.

IT **58757-38-3**, 6-Chloronicotinoyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylheterocyclyl)alkylamines or addn. of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

RN 58757-38-3 CAPLUS

CN 3-Pyridinecarbonyl chloride, 6-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:247307 CAPLUS
DOCUMENT NUMBER: 134:280605

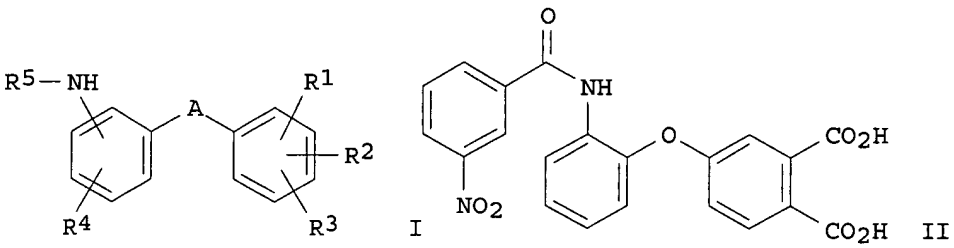
09/ 761,995

TITLE: Preparation of phenoxyphthalic acids and esters as antidiabetics
INVENTOR(S): Kristiansen, Marit; Jakobsen, Palle; Lundbeck, Jane Marie
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023347	A1	20010405	WO 2000-DK530	20000928

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

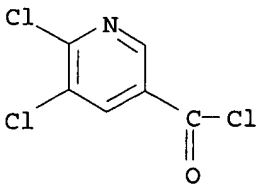
PRIORITY APPLN. INFO.: DK 1999-1384 A 19990929
OTHER SOURCE(S): MARPAT 134:280605
GI



AB The title compds. [I; A = O, S, SO, etc.; R1, R2 = H, CN, CO2H, etc.; R3, R4 = alkyl, alkenyl, alkynyl, etc.; R5 = COR8, CH2R8, CSR8 (wherein R8 = aryl, alkyl, heteroaryl, etc.)], useful in the treatment of and/or prevention of diabetes, and esp. non-insulin dependent diabetes (NIDDM or Type 2 diabetes), were prepd. and formulated. E.g., a 2-step Wang-resin based synthesis of II was given.

IT **54127-29-6**, 5,6-Dichloropyridine-3-carbonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of phenoxyphthalic acids and esters as antidiabetics)

RN 54127-29-6 CAPLUS
CN 3-Pyridinecarbonyl chloride, 5,6-dichloro- (9CI) (CA INDEX NAME)

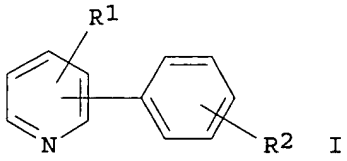


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

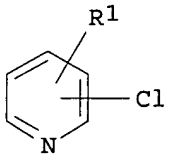
L7 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:217894 CAPLUS
DOCUMENT NUMBER: 134:237400
TITLE: Method for preparation of arylpyridine derivatives
INVENTOR(S): Miyaura, Norio
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001081074	A2	20010327	JP 1999-256314	19990909

OTHER SOURCE(S): CASREACT 134:237400; MARPAT 134:237400
GI



I



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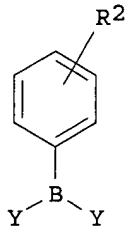

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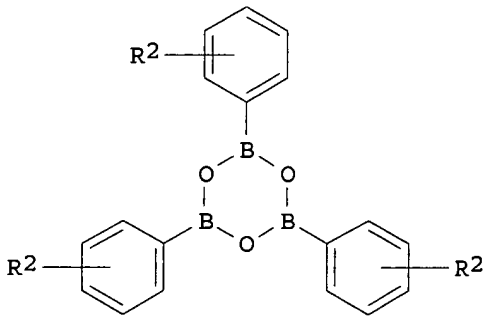

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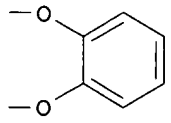
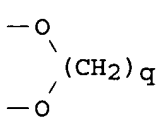
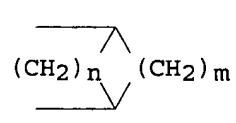
Q= 

Q1= 

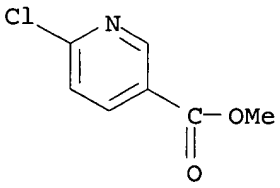
Q2= 

AB The title compds. (I; R1, R2 = H, C1-6 alkyl, optionally C1-6 alkyl-substituted Ph, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C1-6 alkylthio, cyano, CHO, C2-7 acyl, optionally C1-6 alkyl-substituted benzoyl, C2-7 alkoxycarbonyl, optionally C1-6 alkyl-substituted amino or amido, NO2, optionally C1-6 alkyl-substituted phenylsulfonyl or phenylsulfonic acid ester, F, C1-6 fluoroalkyl) are prepd. by Suzuki coupling of chloropyridine derivs. (II; R1 = same as above) with phenylboronic acids (III or IV; R2 = same as above; Y = OH, C1-6 alkoxy, optionally C1-6 alkoxy-substituted **phenoxy**, cyclohexyloxy,

divalent radical Q, Q1, or Q2; q = 1,2,3,4; m, n = 2,3,4,5) in the presence of a polymer supported palladium catalyst prepd. from dichloro(1,5-cyclooctadiene)palladium and polystyrenemethyldiphenylphosphine and a base in a mixed solvent of org. solvent and water. The polymer-supported catalyst is readily prepd. and makes it easy to sep. the catalyst and products and thereby is superior in recycling the catalyst. This process is simple and economically and industrially superior to prior art and gives arylpyridines in good yields which are useful as intermediates for drugs and agrochems. Thus, 1.00 g BIO-BEADS S-X2 (polystyrenemethyldiphenylphosphine, 200-400 mesh, Bio-Rad Labs., Inc., USA), 86.0 mg dichloro(1,5-cyclooctadiene)palladium, and 15 mL benzonitrile were stirred at 100.degree. for 3 h, and cooled to room temp., followed by filtering the polymer through a glass filter and washing it with acetone three-times, CH2Cl2 twice, and Et2O to give a yellow polymer which was dried in vacuo at room temp. for 6 h to give the polymer-supported palladium catalyst. The above catalyst (0.10 g), 0.095 mL 2-chloropyridine, and 0.18 g p-tolylboronic acid, 0.42 g K3PO4, 5 mL toluene, and 1 mL water were stirred at 80.degree. for 16 h, cooled to room temp., and suction-filtered to recover the catalyst. The filtrate liq. was extd. with 5 mL 2 N HCl, followed by phase sepn. and adjusting the aq. layer with 2 N aq. NaOH to pH 12 and extg. it with toluene (5 mL .times. 2), and the combined org. layer was washed with 5 mL water and distd. in vacuo for removing the solvent to give 0.147 g 2-(p-tolyl)pyridine (87% yield).

IT **73781-91-6**, 2-Chloro-5-methoxycarbonylpyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of arylpyridine derivs. by Suzuki coupling of chloropyridines with phenylboronic acids in the presence of polymer-supported palladium catalyst)

RN 73781-91-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:137023 CAPLUS
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6218418 B1 20010417 US 2000-667603 20000922
PRIORITY APPLN. INFO.: US 1999-372831 A 19990812
US 2000-560400 A1 20000428
OTHER SOURCE(S): MARPAT 134:178552

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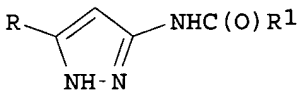
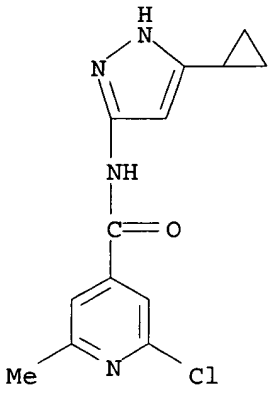


I

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their prepn. and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation assocd. with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for prepg. the 3-aminopyrazole deriv. or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compd. with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc deriv.; (e) reducing this BOC deriv. to obtain the amino analog; (f) reacting this amino compd. with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of prepn. are also claimed.

IT **326822-71-3P**, 2-Chloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)-6-methylisonicotinamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for prepn. and use as antitumor

agents)
RN 326822-71-3 CAPLUS
CN 4-Pyridinecarboxamide, 2-chloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:31481 CAPLUS
DOCUMENT NUMBER: 134:100859
TITLE: Preparation of 2,4-dioxothiazolidines and 4-oxo-2-thioxothiazolidines having telomerase inhibitory activity and methods of their use
INVENTOR(S): Chin, Allison C.; Holcomb, Ryan; Piatyszek, Mieczyslaw A.; Singh, Upinder; Tolman, Richard L.; Akama, Tsutomu; Kanda, Yutaka; Asai, Akira; Yamashita, Yoshinori; Endo, Kaori; Yamaguchi, Hiroyuki
PATENT ASSIGNEE(S): Geron Corporation, USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002377	A1	20010111	WO 2000-US18211	20000630
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001072592	A2	20010321	JP 1999-307576	19991028
EP 1109796	A1	20010627	EP 2000-950282	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:
JP 1999-187616 A 19990701
US 1999-142173P P 19990701
JP 1999-307576 A 19991028
WO 2000-US18211 W 20000630

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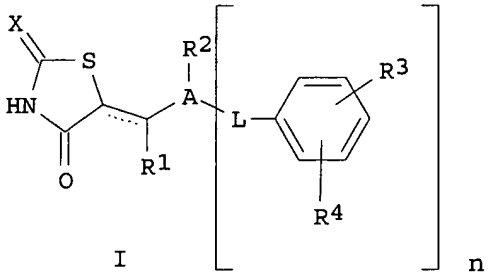
OTHER SOURCE(S): MARPAT 134:100859
GI



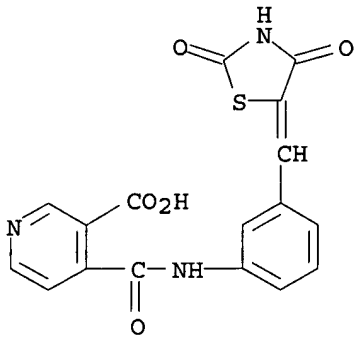
I

AB Thiazolidinedione compds. (shown as I; e.g. 5-((2-(4-chlorophenylthio)-5-nitrophenyl)methylene)-2,4-thiazolidinedione), compns., and methods of inhibiting telomerase activity in vitro and treatment of telomerase-mediated conditions or diseases ex vivo and in vivo are provided. In I, X = O or S; the dashed bond is a single or double bond; A = aryl or heteroaryl; R1 = H or lower alkyl; R2, R3 and R4 are independently selected from H, halo, alkyl, aryl, hydroxyl, alkoxyl, aryloxy, aralkoxy, cyano, nitro, alkylcarbamido, arylcarbamido, dialkylcarbamido, diarylcarbamido, alkylarylcarbamido, alkylthiocarbamido, arylthiocarbamido, dialkylthiocarbamido, diarylthiocarbamido, alkylarylthiocarbamido, amino, alkylamino, arylamino, dialkylamino, diarylamino, arylalkylamino, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkylcarbonyloxy, arylcarbonyloxy, carboxyl, alkoxycarbonyl, aryloxycarbonyl, sulfo, alkylsulfonylamido, arylsulfonylamido, alkylsulfonyl, arylsulfonyl, alkylsulfinyl, arylsulfinyl and heteroaryl; L is a direct bond or a linking group having from 1 to 3 unsubstituted or substituted C, N, O or S atoms; and n = 1, 2. A pharmaceutically acceptable salt thereof is also claimed. The methods, compds. and compns. of the invention may be employed alone, or in combination with other pharmacol. active agents in the treatment of conditions or diseases mediated by telomerase activity, such as in the treatment of cancer. Also disclosed are novel methods for assaying or screening for inhibitors of telomerase activity. More than 200 example prepns. are included, but the methods of prepn. are not claimed.

IT **319455-23-7**, 4-[3-(2,4-Dioxothiazolidin-5-ylidenemethyl)phenylcarbamoyl]nicotinic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(for prepn. of 2,4-dioxothiazolidines and 4-oxo-2-thioxothiazolidines having telomerase inhibitory activity)

RN 319455-23-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-[[[3-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

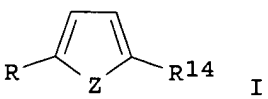


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:628110 CAPLUS
DOCUMENT NUMBER: 133:222450
TITLE: Preparation of arylsulfonylaminoalkynoates as metalloprotease inhibitors
INVENTOR(S): Natchus, Michael George; Bookland, Roger Gunnard; Almstead, Neil Gregory; Pikul, Stanislaw; De, Biswanath; Cheng, Menyan
PATENT ASSIGNEE(S): Procter & Gamble Co., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051975	A1	20000908	WO 2000-US5162	20000301
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6197770	B1	20010306	US 2000-517080	20000301
EP 1165501	A1	20020102	EP 2000-912064	20000301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, IE, SI, LT, LV, FI, RO				
NO 2001004242	A	20010927	NO 2001-4242	20010831

PRIORITY APPLN. INFO.: US 1999-122644P P 19990303
WO 2000-US5162 W 20000301
OTHER SOURCE(S): MARPAT 133:222450
GI



I

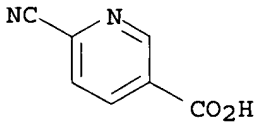
09/ 761,995

AB Title compds. [I; R = SO2NR2CR1(COX)CR3R4(CR5R5')kZ1R13; R1-R5,R5' = H or a substituent; R13 = H, (un)substituted alkyl, -CONH2, etc.; R14 = cycloalkyl, heterocyclyl, DZ2R27, (un)substituted NH2, etc.; D = O, S, CH:CH, N:N, etc.; R27 = alkyl, (hetero)aryl, etc.; X = OH or NHOH; Z = O, S, CH:CH, (alkyl)imino, etc.; Z1 = C.tplbond.C or (un)substituted CH:CH; Z2 = bond or (un)substituted alkylene] were prepd. as metalloprotease inhibitors (no data). Thus, PhC.tplbond.CCH2CH(NH2)CO2Me was N-acylated by 4-FC6H4C6H4(SO2Cl)-4 to give, after sapon., PhC.tplbond.CCH2(CO2H)NHSO2C6H4(C6H4F-4)-4.

IT **70165-31-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of arylsulfonylaminoalkynoates as metalloprotease inhibitors)

RN 70165-31-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-cyano- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:493516 CAPLUS

DOCUMENT NUMBER: 133:120157

TITLE: Preparation of .omega.-carboxy(hetero)aryl substituted diphenyl ureas as raf kinase inhibitors

INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

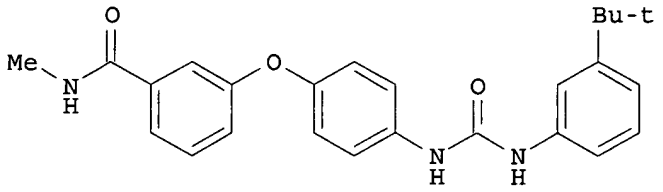
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000042012	A1	20000720	WO 2000-US648	20000112
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140840	A1	20011010	EP 2000-903239	20000112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2001011135	A1	20010802	US 2001-773659	20010202
US 2001011136	A1	20010802	US 2001-773675	20010202
US 2001016659	A1	20010823	US 2001-773672	20010202
US 2001027202	A1	20011004	US 2001-773658	20010202
US 2001034447	A1	20011025	US 2001-773604	20010202

09/ 761,995

NO 2001003463	A	20010912	NO 2001-3463		20010712
US 2002042517	A1	20020411	US 2001-948915		20010910
PRIORITY APPLN. INFO.:			US 1999-115877P	P	19990113
			US 1999-257266	A2	19990225
			US 1999-425228	A2	19991022
			WO 2000-US648	W	20000112

OTHER SOURCE(S): MARPAT 133:120157
GI



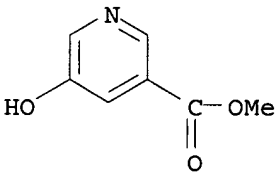
II

AB This invention relates to the prepn. and use of (hetero)aryl ureas ANHCONHB [I; A = L(ML1)q; L = 5- or 6-membered (hetero)aryl, esp. Ph or pyridinyl; M = bridging group; L1 = (hetero)aryl with at least one (un)substituted sulfamoyl, carboxy, or carbamoyl substituent; q = 1-3; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] for the treatment of raf mediated diseases, such as cancer (no data). Approx. 100 invention compds. and numerous intermediates were prepd. For instance, 3-tert-butylaniline was coupled with bis(trichloromethyl)carbonate to form the isocyanate, followed by addn. of 4-(3-N-methylcarbamoylphenoxy)aniline (prepn. given) to afford the urea II.

IT **30766-22-4**, Methyl 5-hydroxynicotinate
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

RN 30766-22-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2002 ACS

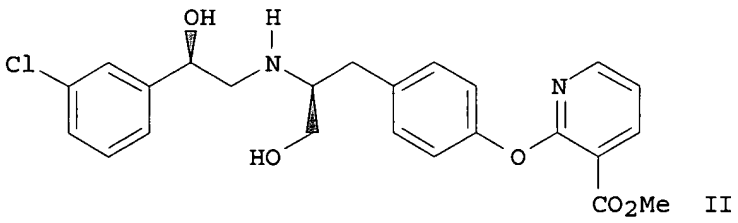
ACCESSION NUMBER:	2000:475643 CAPLUS
DOCUMENT NUMBER:	133:89439
TITLE:	Preparation of [(aminohydroxyalkyl)**phenoxy**]nicotinates and analogs as .beta.3-adrenoceptor agonists
INVENTOR(S):	Taniguchi, Kiyoshi; Sakurai, Minoru; Kato, Takeshi; Fujii, Naoaki; Washizuka, Kenichi; Tomishima, Yasuyo; Takasugi, Hisashi; Kohno, Yutaka; Yamamoto, Nobuhiro; Tanimura, Naoko; Ishikawa, Hirohumi
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Japan

09/ 761,995

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040560	A1	20000713	WO 1999-JP7203	19991222
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140849	A1	20011010	EP 1999-961305	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: AU 1998-7967 A 19981230
WO 1999-JP7203 W 19991222
OTHER SOURCE(S): MARPAT 133:89439
GI

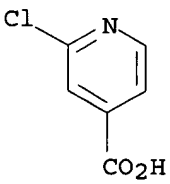


II

AB R1Z1CH(OH)CH2NR2CHR3Z2C6H4Z3R4 [I; R1 = (un)substituted Ph or -pyridyl; R2 = H, alkoxycarbonyl, CH2Ph, CO2CH2Ph; R3 = hydroxyalkyl, alkoxyalkyl, haloalkyl; R4 = (un)substituted aryl or -N-contg. heterocyclyl; Z1 = bond or OCH2; Z2 = (CH2)1-3; Z3 = bond, O, S, OCH2, NH] were prepd. Thus, (S)-4-(HO)C6H4CH2CH(NHBoc)CH2OH was etherified by 2-chloropyridine-3-carboxaldehyde (prepn. given) and the product converted in 3 steps to (S)-4-(R4O)C6H4CH2CH(NH2)CH2OH (R4 = 3-methoxycarbonyl-2-pyridyl) which was N-alkylated by (R)-3-chlorostyrene oxide to give title compd. II. Data for biol. activity of 1 I were given.

IT **6313-54-8**, 2-Chloroisonicotinic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of [(aminohydroxyalkyl)**phenoxy**]nicotinates and analogs as .beta.3-adrenoceptor agonists)

RN 6313-54-8 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2002 ACS

09/ 761,995

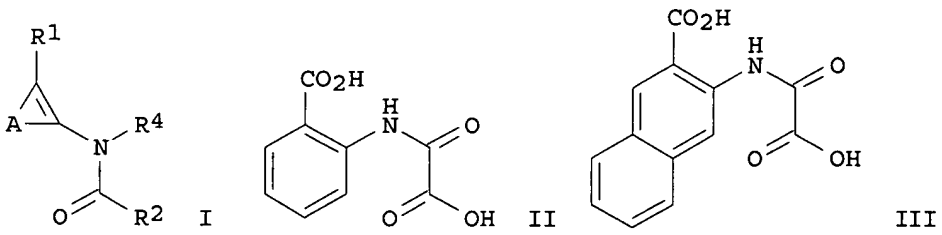
ACCESSION NUMBER: 1999:595124 CAPLUS
DOCUMENT NUMBER: 131:228549
TITLE: Preparation of (oxalylamino)benzoic acid derivatives and analogs as modulators of protein tyrosine phosphatases (PTPases)
INVENTOR(S): Richter, Lutz Stefan; Andersen, Henrik Sune; Vagner, Josef; Jeppesen, Claus Bekker; Moller, Niels Peter Hundahl; Branner, Sven; Su, Jing; Bakir, Farid; Judge, Luke Milburn
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Ontogen Corporation
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9946236	A1	19990916	WO 1999-DK122	19990311
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6225329	B1	20010501	US 1999-265069	19990309
AU 9927136	A1	19990927	AU 1999-27136	19990311
EP 1062199	A1	20001227	EP 1999-907333	19990311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002506055	T2	20020226	JP 2000-535619	19990311
ZA 9902029	A	19990927	ZA 1999-2029	19990312

PRIORITY APPLN. INFO.:

DK 1998-342	A	19980312
DK 1998-345	A	19980312
DK 1998-472	A	19980403
DK 1998-479	A	19980403
DK 1998-940	A	19980715
US 1998-82913P	P	19980424
US 1998-82914P	P	19980424
US 1998-93638P	P	19980721
WO 1999-DK122	W	19990311

OTHER SOURCE(S): MARPAT 131:228549
GI



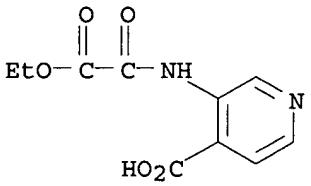
AB Title compds. I [A = atoms to complete (un)substituted Ph, biphenyl, indenyl, fluorenyl, naphthyl, pyridyl, pyridazinyl, pyrimidinyl, or pyrazinyl nucleus; R1 = H, acyl, CO2H, OH or derivs., CF3, NO2, cyano, SO3H, amino, various 5-membered heterocycles, etc.; R2 = acyl, CO2H, OH or

derivs., CF3, NO2, cyano, SO3H, (un)substituted NH2 or PO3H2, various 5-membered heterocycles, etc.; R4 = H, OH, alkyl, (un)substituted aryl or aralkyl, (un)substituted NH2, alkoxy] were prepd. as inhibitors of protein tyrosine phosphatases (PTPases), such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP. The compds. are useful in the treatment of type I diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, immune dysfunctions including autoimmunity diseases with dysfunctions of the coagulation system, allergic diseases including asthma, osteoporosis, proliferative disorders including cancer and psoriasis, diseases with decreased or increased synthesis or effects of growth hormone, diseases with decreased or increased synthesis of hormones or cytokines that regulate the release of/or response to growth hormone, diseases of the brain including Alzheimer's disease and schizophrenia, and infectious diseases. For instance, anthranilic acid was amidated with Et oxalyl chloride in THF (94%), followed by hydrolysis of the ester function with NaOH in aq. EtOH soln. (81%), to give the title compd. II. In an in vitro test against PTP1B expressed in E. coli and purified by known methods, II had a Ki of 20 .mu.M, and the similarly prepd. 2,3-substituted naphthalene analog III had a Ki of 9.9 .mu.M.

IT **243989-98-2P**, 3-[(Ethoxyoxalyl)amino]isonicotinic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (oxalylamino)benzoic acid derivs. and analogs as modulators of protein tyrosine phosphatases (PTPases))

RN 243989-98-2 CAPLUS

CN 4-Pyridinecarboxylic acid, 3-[(ethoxyoxoacetyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:451297 CAPLUS
DOCUMENT NUMBER: 131:102288
TITLE: Bicyclic heteroaromatic compounds [quinazolinamines, pyridopyrimidines, and analogs] useful as protein tyrosine kinase inhibitors
INVENTOR(S): Carter, Malcolm Clive; Cockerill, George Stuart; Guntrip, Stephen Barry; Lackey, Karen Elizabeth; Smith, Kathryn Jane
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935146	A1	19990715	WO 1999-EP48	19990108

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,

KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2317589	AA	19990715	CA 1999-2317589	19990108	
AU 9922783	A1	19990726	AU 1999-22783	19990108	
BR 9906904	A	20001017	BR 1999-6904	19990108	
EP 1047694	A1	20001102	EP 1999-902522	19990108	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002500225	T2	20020108	JP 2000-527545	19990108	
ZA 9900172	A	20000711	ZA 1999-172	19990111	
NO 2000003561	A	20000911	NO 2000-3561	20000711	
PRIORITY APPLN. INFO.:			GB 1998-569	A	19980112
			WO 1999-EP48	W	19990108

OTHER SOURCE(S): MARPAT 131:102288

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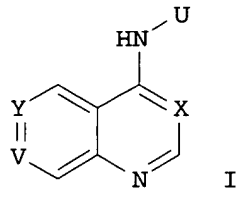

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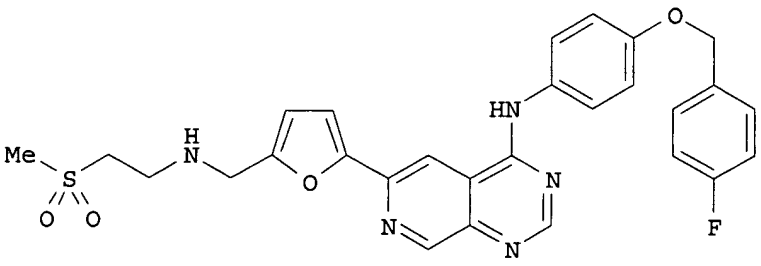


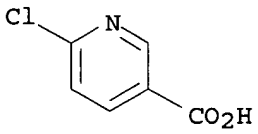
II

AB Title compds. I and their salts and solvates are disclosed [wherein X = N or CH; Y = CR1 and V = N; or Y = N and V = CR1; or Y = CR1 and V = CR2; or Y = CR2 and V = CR1; R1 = MeSO2CH2CH2NHCH2-Ar-, wherein Ar = (un)substituted Ph, furan, thiophene, pyrrole, or thiazole; R2 = H, halo, OH, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylamino, or di[C1-4 alkyl]amino; U = Ph, pyridyl, 3H-imidazolyl, indolyl, isoindolyl, indolinyl, isoindolinyl, 1H-indazolyl, 2,3-dihydro-1H-indazolyl, 1H-benzimidazolyl, 2,3-dihydro-1H-benzimidazolyl or 1H-benzotriazolyl group, substituted by R3 and optionally by R4; R3 = (halo)benzyl, benzoyl, pyridylmethyl, pyridylmethoxy, **phenoxy**, benzyloxy, halo-, dihalo- and (halo)benzyloxy, PhSO2, (trihalomethyl)benzyl, (trihalomethyl)benzyloxy, (R5)n-substituted phthalimido; R4 = OH, halo, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, (di)(alkyl)amino, C1-4 alkylthio, etc.; R5 = halo, C1-4 alkyl, C1-4 alkoxy; n = 0-3]. Also disclosed are methods for their prepn., pharmaceutical compns. contg. them, and their use in medicine. The compds. are inhibitors of protein tyrosine kinases, and as such are useful in the treatment of cancer, psoriasis, and rheumatoid arthritis. Over 40 title compds. and numerous intermediates were prepd. For example, 4,6-dichloropyrido[3,4-d]pyrimidine was condensed with

4-[(4-fluorobenzyl)oxy]aniline at the 4-chloro position, followed by Pd-catalyzed coupling with 5-(1,3-dioxolan-2-yl)-2-(tributylstannyl)furan at the 6-chloro position, hydrolysis of the dioxolane protecting group to give an aldehyde, reductive amination of the latter with MeSCH2CH2NH2, and finally S-oxidn. with Oxone .RTM. and acidification, to give title salt II.2HCl. In a methylene blue growth inhibition assay against 5 tumor cell lines, II.2HCl had an IC50 of < 5 .mu.M against 4 of them, and an IC50 of 25-50 .mu.M against the 5th.

IT **5326-23-8**, 6-Chloronicotinic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of quinazolinamines and analogs as protein tyrosine kinase inhibitors)

RN 5326-23-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:312721 CAPLUS
DOCUMENT NUMBER: 130:352268
TITLE: Preparation of benzothiazole derivatives as protein kinase C inhibitors
INVENTOR(S): Mori, Toyoki; Tominaga, Michiaki; Tabusa, Fujio; Ei, Kazuyoshi; Abe, Kaoru; Nakaya, Kenji; Takemura, Isao; Shinohara, Yuichi; Tanada, Yoshihisa; Yamauchi, Takahito
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 127 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11130761	A2	19990518	JP 1997-292346	19971024

OTHER SOURCE(S): MARPAT 130:352268
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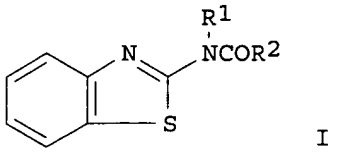

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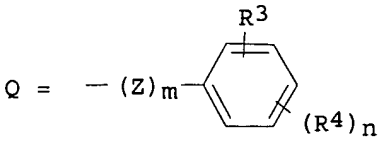
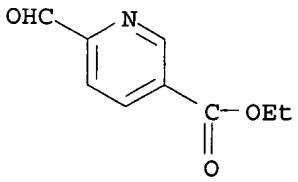


AB The derivs. I [R1 = H, lower alkanoyloxyl2-lower alkyl; R2 = Q [m = 0, 1; Z = AO (A = lower alkylene), A1NR5 (A1 = lower alkylene; R5 = H, lower alkyl); R3 = alkenylcarbonyl, COCR6R:CR7R8 (R6 = H, imidazolyl; R7, R8 = H, substituents); R4 = H, halo, lower alkyl, lower alkoxy, lower alkoxycarbonyl-lower alkyl, lower alkanoyloxy-lower alkyl, lower hydroxyalkyl, lower haloalkyl, lower carboxyalkyl, A(CO)nNR21R22 [A = lower alkylene; n = 0, 1; R21, R22 = H, (un)substituted lower alkyl, or NR21R22 = (O-contg.) 5-7-membered satd. heterocyclyl]], 2,3-dihydrobenzofuryl which may be substituted with lower alkenylcarbonyl, chromanyl which may be substituted with lower alkenylcarbonyl, anilino which may be ring-substituted with carboxy-lower alkenylcarboyl, condensed benzo(hetero)cyclyl, etc.] are prepd. I inhibit protein kinase C and are useful for preventing or treating diseases caused by hyperfunctioning of protein kinase C-mediated biol. process, e.g. metabolic regulation, cell proliferation, cell differentiation, etc. IC50 of 2-[2-(4-morpholinobutyl)-4-(3-methylacryloyl)**phenoxy**]methylcarbonylaminobenzothiazole methanesulfonate (II; prepn. given) against rat brain protein kinase C was 0.08 .mu.M. II also suppressed increases in blood creatinine and urea-N in a rat renal ischemia-reperfusion injury model.

IT **20857-31-2**
RL: RCT (Reactant)
(prepn. of benzothiazole derivs. as protein kinase C inhibitors)

RN 20857-31-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-formyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:147846 CAPLUS

DOCUMENT NUMBER: 130:196672

TITLE: Triazines with adenosine-antagonistic effect

INVENTOR(S): Kuefner-Muehl, Ulrike; Scheuplein, Stefan Wolfgang; Pohl, Gerald; Gaida, Wolfgang; Lehr, Erich; Mierau, Joachim; Meade, Christopher John Montague

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX

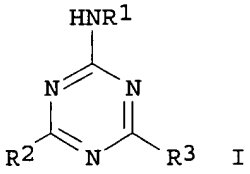
09/ 761,995

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19735800	A1	19990225	DE 1997-19735800	19970818
WO 9911633	A1	19990311	WO 1998-EP5101	19980812

W: CA, JP, MX, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: DE 1997-19735800 19970818
OTHER SOURCE(S): MARPAT 130:196672
GI

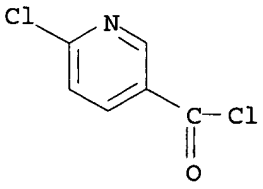


I

AB Triazines I [R1 = H, alkyl; R2 = cycloalkyl, (un)substituted Ph, heterocyclic; R3 = (un)substituted cycloalkyl, Ph, cycloalkenyl, phenylalkyl, phenylalkenyl, phenylalkynyl, naphthyl, **phenoxy**, phenylamino, heterocyclic] were prepd. Thus, I [R1 = H, R2, R3 = Ph] was obtained by treating PhCN with guanidine in presence of NaH inMe2SO. I [R1 = H, R2, R3 = Ph] had a Ki for human A1 receptor binding of 14.8 nM.

IT **58757-38-3**, 6-Chloronicotinoyl chloride
RL: RCT (Reactant)
(prepn. of aminotriazines with A1 receptor antagonist activity)

RN 58757-38-3 CAPLUS
CN 3-Pyridinecarbonyl chloride, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:682354 CAPLUS
DOCUMENT NUMBER: 129:316033
TITLE: Preparation of oximes as insecticidal and acaricidal agents
INVENTOR(S): Ikegami, Hiroshi; Izumi, Keiichi; Suzuki, Masaya; Sakamoto, Noriyasu; Saito, Shigeru
PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan
SOURCE: PCT Int. Appl., 735 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

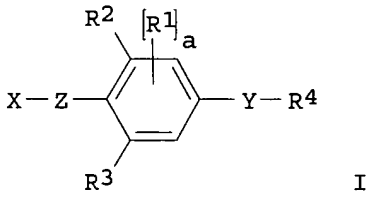
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845254	A2	19981015	WO 1998-JP1342	19980326
WO 9845254	A3	19990826		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9865179	A1	19981030	AU 1998-65179	19980326
AU 728844	B2	20010118		
EP 975586	A2	20000202	EP 1998-911012	19980326
R: CH, DE, ES, FR, GB, IT, LI				
JP 10338668	A2	19981222	JP 1998-82251	19980327
ZA 9802682	A	19980929	ZA 1998-2682	19980331
JP 11147864	A2	19990602	JP 1998-247936	19980724
JP 11152258	A2	19990608	JP 1998-246508	19980727
US 2002019569	A1	20020214	US 2001-839201	20010423

PRIORITY APPLN. INFO.:

JP 1997-89831	A	19970408
JP 1997-245892	A	19970806
JP 1997-247400	A	19970807
WO 1998-JP1342	W	19980326
US 1999-402199	A3	19991001

OTHER SOURCE(S): MARPAT 129:316033

GI



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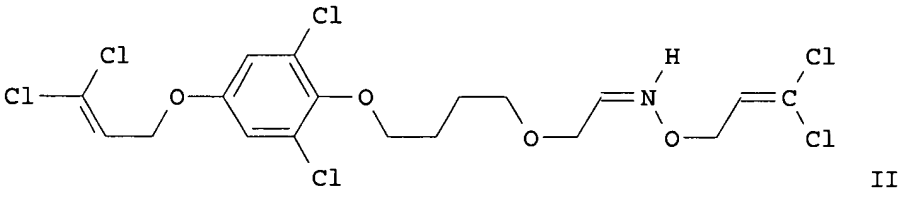


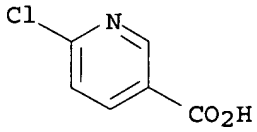
II

AB The title compds. [I; R1-R3 = halo, C1-3 alkyl, C1-3 haloalkyl, etc.; R4 = 3,3-dihalo-2-propenyl; a = 0-2; Y = O, S, NH; Z = O, S, NR5 (wherein R5 = H, Ac, C1-3 alkyl); X = R6ON:C(R7)A1-, R8C(R9):NOA2- (R6 = H, C1-8 alkyl, C2-6 haloalkyl, etc.; R7 = H, C1-6 alkyl, C1-3 haloalkyl, etc.; R8, R9 = H, C1-11 alkyl, C1-6 haloalkyl, etc.; A1 = (CR19:CR20)h(CR21R22)i, (CR19:CR20)h(CR21R22)jQ1(CR23R24)k, etc.; R19-R24 = H, C1-3 alkyl, CF3; h = 0-1; i = 1-6; j = 1-3; k = 2-8; Q1 = O, S, S(O), S(O)2, etc.; A2 = (CR19R20)jC.tplbond.C(CR23R24)m, (CR19R20)hE(CR23R24)p, etc.; E = C5-6 cycloalkylene)], useful as insecticidal/acaricidal agents, were prepd. Thus, reaction of 4-[2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)

phenoxy]butyloxyacetaldehyde with O-(3,3-dichloro-2-propenyl)hydroxylamine hydrochloride in pyridine afforded 74% II which showed a mortality of 80% or higher against Spodoptera litura and Plutella xylostella.

IT **5326-23-8**, 6-Chloronicotinic acid
RL: RCT (Reactant)
(prepn. of oximes as insecticidal and acaricidal agents)

RN 5326-23-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)

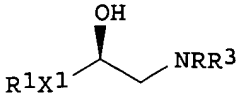


L7 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:519846 CAPLUS
DOCUMENT NUMBER: 129:148910
TITLE: Preparation of 1-(aralkyl)amino-2-propanols as .beta.3-adrenoceptor agonists
INVENTOR(S): Bell, Michael Gregory; Crowell, Thomas Alan; Matthews, Donald Paul; McDonald, John Hampton, III; Neel, David Andrew; Shuker, Anthony John; Winter, Mark Alan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 40 pp. Division of U.S. Ser. No. 708,621, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

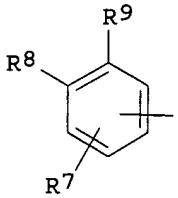
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5786356	A	19980728	US 1997-882549	19970625
US 5808080	A	19980915	US 1997-850044	19970502
US 6075040	A	20000613	US 1997-850562	19970502
US 5840738	A	19981124	US 1997-882623	19970625
US 5939443	A	19990817	US 1997-882503	19970625
US 6060492	A	20000509	US 1997-882587	19970625
US 5977154	A	19991102	US 1997-882931	19970626
US 6093735	A	20000725	US 1999-345976	19990701
US 6265581	B1	20010724	US 2000-551184	20000417

PRIORITY APPLN. INFO.:
US 1996-708621 B3 19960905
US 1995-4082P P 19950921
US 1997-850562 A1 19970502
US 1997-882931 A1 19970626

OTHER SOURCE(S): MARPAT 129:148910
GI



I



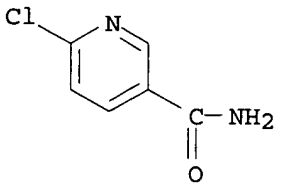
II

AB Title compds. [I; R = CR5R6X2R4; R1 = annelated Ph group II or II in which R7 = H and R8R9 = (un)substituted NA3A4 (sic) wherein A3A4 = C or N (sic) and A3 and A4 are singly or doubly bonded; R3 = H, alkyl, aryl; R4 = heterocyclyl, (un)substituted Ph, (bi)cycloalkyl, etc.; R5,R6 = H or alkyl; R5R6 = alkylene; R7 = H, halo, alkyl, alkoxy, etc.; R8R9 = A1C(:X)A2 or NHSO1-2NH; A1,A2 = O, S, NH, CH2, NMe,NEt; X = O or S; X1 = bond, OCH2, SCH2; X2 = bond or alkylene; R6X2 = atoms to complete a ring; R6R4X2 = benzannelated cycloalkylidene] were prep. Thus, 6-[4-(2-amino-2-methylpropyl)**phenoxy**]nicotinamide (prepn. given) was condensed with (S)-4-(oxiranylmethoxy)indole to give I.HCl [R = CMe2CH2C6H4(OR2)-4, R2 = 5-carbamoyl-2-pyridinyl, R3 = H, R1 = 4-indolyl, X1 = OCH2]. Data for biol. activity of I were given.

IT **6271-78-9**, 6-Chloronicotinamide
RL: RCT (Reactant)
(prepn. of 1-(aralkyl)amino-2-propanols as .beta.3-adrenoceptor agonists)

RN 6271-78-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:450912 CAPLUS

DOCUMENT NUMBER: 129:189308

TITLE: Synthesis and Pharmacological Activity of Triazolo[1,5-a]triazine Derivatives Inhibiting Eosinophilia

AUTHOR(S): Akahoshi, Fumihiko; Takeda, Shinji; Okada, Takehiro; Kajii, Masahiko; Nishimura, Hiroko; Sugiura, Masanori; Inoue, Yoshihisa; Fukaya, Chikara; Naito, Youichiro; Imagawa, Takashi; Nakamura, Norifumi

CORPORATE SOURCE: Pharmaceutical Research Division, Yoshitomi Pharmaceutical Industries Ltd., Hirakata, 573-1153, Japan

SOURCE: J. Med. Chem. (1998), 41(16), 2985-2993
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

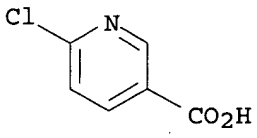
LANGUAGE: English

AB In continuation of previous work on eosinophilia inhibitors, an addnl. series of inhibitors, which consisted of 5-amino-1-[(methylamino)thiocarbonyl]-1H-1,2,4-triazole derivs. and a newly developed series of 1,2,4-triazolo[1,5-a]-1,3,5-triazine derivs. was synthesized. Their inhibitory activity on the airway eosinophilia model, which was induced by the i.v. (i.v.) injection of Sephadex particles was evaluated. In the 1,2,4-triazole series with various substituents at the 3-position of the triazole ring such as 2-furyl, pyridyl, and **phenoxy**, none of derivs. had comparable activity to the previously reported compd. GCC-AP0341, 5-amino-3-(4-chlorophenyl)-1-[(methylamino)thiocarbonyl]-1H-1,2,4-triazole. In the triazolo[1,5-a]triazine series, 2-(4-chlorophenyl)-6-methyl-1,2,4-triazolo[1,5-a]-1,3,5-triazine-7(6H)-thione was highly potent, and when

given orally it had an ID50 value of 0.3 mg/kg, which is comparable to that of GCC-AP0341. The fact that the structure-activity relationship of these two series was quite similar suggests that a common substructure, such as the 1,2,4-triazole ring with a substituted Ph ring at the 3-position and a thiocarbonyl moiety at the 1-position, could contribute to the activity. A selected compd. 2-(4-chlorophenyl)-6-methyl-1,2,4-triazolo[1,5-a]-1,3,5-triazine-7(6H)-thione was less active than GCC-AP0341 in the antigen-induced hyper-responsiveness model in guinea pigs; however, further studies will be carried out on eosinophil functions, esp. on their activation, using two compds., 2-(4-chlorophenyl)-6-methyl-1,2,4-triazolo[1,5-a]-1,3,5-triazine-7(6H)-thione and GCC-AP0341.

IT **5326-23-8**, 6-Chloronicotinic acid
RL: RCT (Reactant)
(prepn. and pharmacol. activity of triazolo[1,5-a]triazine derivs.)

RN 5326-23-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:226798 CAPLUS
DOCUMENT NUMBER: 128:254074
TITLE: Safened herbicidal compositions comprising a phytotoxicity reducing **phenoxy** acid herbicide and a sulfonylurea, sulfonamide, or imidazolinone herbicide
INVENTOR(S): Boyles, Mark C.; Fenderson, John M.; Brinkman, Bart
PATENT ASSIGNEE(S): Sandoz Ltd., Switz.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739080	A	19980414	US 1994-351863	19940915
US 5612284	A	19970318	US 1995-452166	19950526
US 5614466	A	19970325	US 1995-452456	19950526
US 5846902	A	19981208	US 1997-866654	19970530

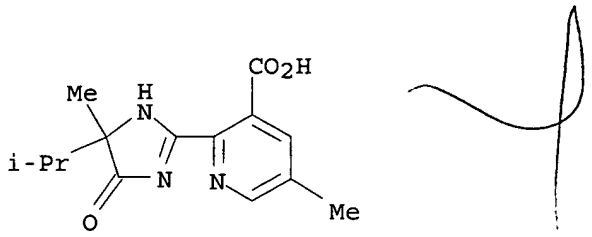
PRIORITY APPLN. INFO.: US 1993-68727 19930526
US 1994-207103 19940304
US 1994-351863 19940915

AB **Phenoxy** acid herbicides, such as 2,4-D and MCPA, reduce the phytotoxicity to crops of amino acid synthesis inhibitor herbicides, such as sulfonylurea, sulfonamide, or imidazolinone derivs., particularly to grassy crops. Thus, methsulfuron-Me stunted sorghum. Co-application of 2,4-D, Banvel or Marksman decreased the phytotoxicity of methsulfuron-Me to sorghum, without affecting its herbicidal activity.

IT **104098-48-8D**, mixts. with **phenoxy** acid herbicides
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(imazameth; safened herbicidal compns.)

RN 104098-48-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-

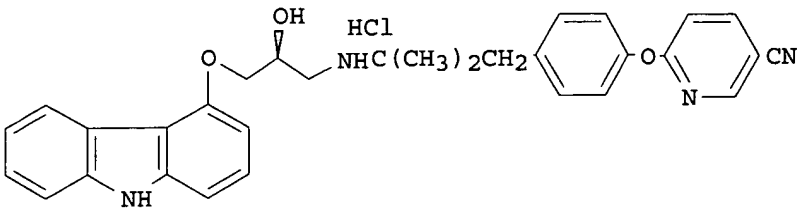
1H-imidazol-2-yl]-5-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 24 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:169451 CAPLUS
DOCUMENT NUMBER: 128:230241
TITLE: Preparation of carbazole derivs. as selective .beta.3 adrenergic agonists
INVENTOR(S): Crowell, Thomas A.; Evrard, Deborah A.; Jones, Charles D.; Muehl, Brian S.; Rito, Christopher J.; Shuker, Anthony J.; Thorpe, Andrew J.; Thrasher, Kenneth J.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Crowell, Thomas A.; Evrard, Deborah A.; Jones, Charles D.; Muehl, Brian S.; Rito, Christopher J.; Shuker, Anthony J.; Thorpe, Andrew J.; Thrasher, Kenneth J.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809625	A1	19980312	WO 1997-US15230	19970828
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 827746	A1	19980311	EP 1997-306613	19970827
EP 827746	B1	20020403		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2236269	AA	19980312	CA 1997-2236269	19970828
AU 9740941	A1	19980326	AU 1997-40941	19970828
ZA 9707917	A	19990603	ZA 1997-7917	19970903
US 6140352	A	20001031	US 1998-68192	19980504

PRIORITY APPLN. INFO.: US 1996-25818P P 19960905
US 1996-29228P P 19961030
WO 1997-US15230 W 19970828
OTHER SOURCE(S): MARPAT 128:230241
GI



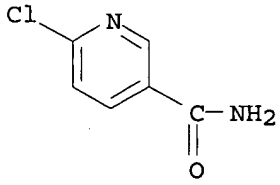
II

AB Title compds. R1X1CH(OH)CH2N(R3)C(R5R6)X2X3R4 I (X1 = OCH2, SCH2, bond; X2 = bond, alkylene; X3 = O, S, bond; R1 = fused heterocycle; R3 = H, alkyl; R4 = (un)substituted heterocycle, naphthyl, etc.; R5 = H, alkyl; R6 = H, alkyl CO-O-alkyl; R5-R6 = cycloalkyl; R6-X2 = cycloalkyl; etc.) are prepd. for selective .beta.3 receptor agonists which are useful in the treatment of Type II diabetes and obesity, comprising administering to mammal. The title compd. II was prepd. from (2S)-(+)-4-(oxiranylmethoxy)-9H-carbazole and 2-(4-(2-amino-2-methylpropyl)**phenoxy**)-5-pyridinecarbonitrile which was prepd. from 2-fluoropyridine and 4-(2-amino-2-methylpropyl)phenol.

IT **6271-78-9**, 6-Chloronicotinamide
RL: RCT (Reactant)
(prepn. of carbazole derivs. as adrenergic agonists)

RN 6271-78-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 25 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:549371 CAPLUS

DOCUMENT NUMBER: 127:161834

TITLE: Preparation of pyrimidinylimidazoles and analogs as drugs

INVENTOR(S): Adams, Jerry L.; Boehm, Jeffrey C.; Lee, Dennis

PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA; Adams, Jerry L.; Boehm, Jeffrey C.; Lee, Dennis

SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725045	A1	19970717	WO 1997-US500	19970110

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

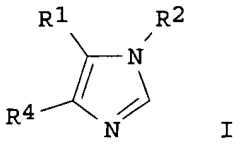
09/ 761,995

CA 2242327	AA	19970717	CA 1997-2242327	19970110
AU 9715774	A1	19970801	AU 1997-15774	19970110
AU 715900	B2	20000210		
EP 900083	A1	19990310	EP 1997-902002	19970110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9706973	A	19990406	BR 1997-6973	19970110
CN 1213306	A	19990407	CN 1997-192882	19970110
JP 2000503302	T2	20000321	JP 1997-525452	19970110
NO 9803189	A	19980910	NO 1998-3189	19980710
US 5977103	A	19991102	US 1998-101531	19981113

PRIORITY APPLN. INFO.: US 1996-9907P P 19960111
US 1996-14952P P 19960405
WO 1997-US500 W 19970110

OTHER SOURCE(S): MARPAT 127:161834

GI



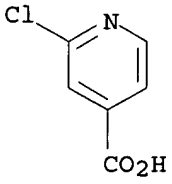
I

AB Title compds. [I; R1 = (un)substituted heteroaryl; R2 = (cyclo)alkyl, cycloalkylalkyl, heterocyclyl(alkyl), etc.; R4 = Ph, naphthyl, heteroaryl, etc.] were prepd. as cytokine and cyclooxygenase-2 synthesis inhibitors (no data). Thus, the imine prepd. from 2-methylthiopyrimidine-4-carboaldehyde and 1-tert-butoxycarbonyl-4-aminopiperidine (prepn. each given) was cyclocondensed with 4-FC6H4CH(NC)SO2C6H4Me-4 (prepn. given) and the oxidized product etherified by PhOH to give, after deprotection, I (R1 = C6H4F-4, R2 = 2-**phenoxy**-4-pyrimidinyl, R4 = 4-piperidinyl).

IT **6313-54-8**, 2-Chloro-4-pyridinecarboxylic acid
RL: RCT (Reactant)
(prepn. of pyrimidinylimidazoles and analogs as drugs)

RN 6313-54-8 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:320858 CAPLUS

DOCUMENT NUMBER: 126:293359

TITLE: Preparation of (S)-3-aralkylamino-2-hydroxypropoxybenzoazoles and analogs as .beta.3-adrenoceptor agonists

INVENTOR(S): Jesudason, Cynthia Darshini; Matthews, Donald Paul; Mcdonald, John Hampton; Neel, David Andrew; Rito, Christopher John; Shuker, Anthony John; Bell, Michael Gregory; Crowell, Thomas Alan; Droste, Christine Ann; Winter, Mark Alan

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

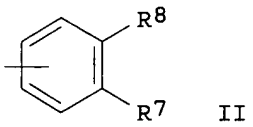
09/ 761,995

SOURCE: Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 764640	A1	19970326	EP 1996-306851	19960920
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2232434	AA	19970327	CA 1996-2232434	19960920
WO 9710825	A1	19970327	WO 1996-US15135	19960920
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9670778	A1	19970409	AU 1996-70778	19960920
AU 715175	B2	20000120		
CN 1202107	A	19981216	CN 1996-198236	19960920
BR 9610852	A	19990713	BR 1996-10852	19960920
JP 11512701	T2	19991102	JP 1996-512930	19960920
US 5939443	A	19990817	US 1997-882503	19970625
US 6060492	A	20000509	US 1997-882587	19970625
US 5977154	A	19991102	US 1997-882931	19970626
NO 9801203	A	19980506	NO 1998-1203	19980317
US 6093735	A	20000725	US 1999-345976	19990701
US 6265581	B1	20010724	US 2000-551184	20000417

PRIORITY APPLN. INFO.:	US 1995-4082P	P	19950921
	US 1996-708621	B3	19960905
	WO 1996-US15135	W	19960920
	US 1997-850562	A1	19970502
	US 1997-882931	A1	19970626

OTHER SOURCE(S): MARPAT 126:293359
GI



II

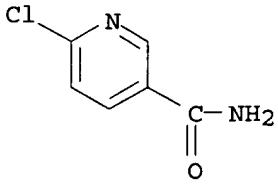
AB (S)-R1Z1CH(OH)CH2NR3CR5R6Z2R4 [I; R1 = heterocyclo-fused Ph group, e.g., II;R3 = H, alkyl, aryl; R4 = R9-substituted Ph, -naphthyl, -cycloalkyl, etc.; R5,R6 = H or alkyl; R7R8 = (un)substituted NA3A4 or (un)substituted NA3:A4; A3,A4 = C or N (sic); R9 = halo, alkyl, alkoxy, aryloxy, etc.; Z1 = bond, OCH2, SCH2; Z2 = bond or alkylene] were prepd. Thus, 4-(HO)C6H4CH2OH was condensed with Me2CHNO2 and the reduced product etherified by 6-chloronicotinamide to give 6-[4-(2-amino-2-methylpropyl) **phenoxy**]nicotinamide which was condensed with (S)-4-glycidyloxyindole to give I [R1 = 4-indolyl, R3 = H, R4 = C6H4[OC6H4(CONH2)-4]-4, R5 = R6 = Me, Z1 = OCH2, Z2 = CH2]. Data for biol. activity of I were given.

IT **6271-78-9**, 6-Chloronicotinamide
RL: RCT (Reactant)
(prepn. of (S)-3-aralkylamino-2-hydroxypropoxybenzoazoles and analogs as .beta.3-adrenoceptor agonists)

RN 6271-78-9 CAPLUS

09/ 761,995

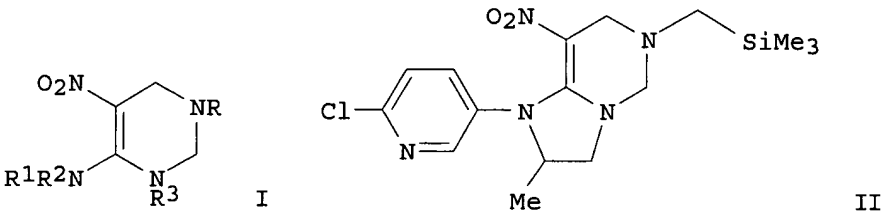
CN 3-Pyridinecarboxamide, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:234296 CAPLUS
DOCUMENT NUMBER: 126:225311
TITLE: Preparation of tetrahydropyrimidines as arthropodicides
INVENTOR(S): Mccann, Stephen Frederick
PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705145	A1	19970213	WO 1995-US9704	19950801
W: JP, KR				

OTHER SOURCE(S): MARPAT 126:225311
GI

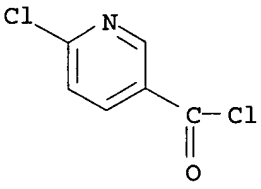


I II

AB Title compds. [I; R = ZSiR4R5R6 or ZGeR4R5R6; R1,R3 = H, alkyl, COR11, (un)substituted Ph, etc.; R2 = H, (halo)alk(en)yl, etc.; R2R3 = (Me-substituted)(CH2)2-3; R4 = H, alkyl, alkoxy, trialkylsilyl, etc.; R5,R6 = alk(en)yl, alkoxy, Ph, **phenoxy**, etc.; R11 = H, NH2, OH, alkyl, alkoxy, etc.; Z = bond, alk(en)ylene, phenylene, etc.] were prepd. Thus, 6-chloronicotinoyl chloride was amidated by H2NCHMeCO2Me and the amidated product reduced with BH3/Me2S to give, after cyclocondensation with O2NCH:C(SMe)2, 2-chloro-5-[(5-methyl-2-nitromethylene-1-imidazolidinyl)methyl]pyridine which was cyclocondensed with HCHO and H2NCH2SiMe3 to give title compd. II. Data for biol. activity of I were given.

IT **58757-38-3**, 6-Chloronicotinoyl chloride
RL: RCT (Reactant)
(prepn. of tetrahydropyrimidines as arthropodicides)

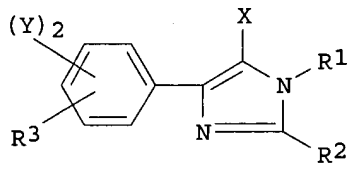
RN 58757-38-3 CAPLUS
CN 3-Pyridinecarbonyl chloride, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:529556 CAPLUS
DOCUMENT NUMBER: 125:161125
TITLE: Synergistic herbicidal compositions and method for weed control
INVENTOR(S): Ootsuka, Takashi; Mabuchi, Tsutomu; Hachitani, Yoichi
PATENT ASSIGNEE(S): Nihon Nohyaku Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08133912	A2	19960528	JP 1994-303049	19941111

OTHER SOURCE(S): MARPAT 125:161125
GI



I

AB A herbicidal compn. contains a phenylimidazole deriv. I (R1 = H, C1-10 alkyl, halo alkyl, etc.; R2 = H, C1-6 alkyl, etc.; X = H or halo; Y = halo; R3 = O, S, or NH bound to H or alkyl, alkenyl, etc.) and .gtoreq.1 compd. selected from imidazolinone, sulfonylurea, di-Ph ether, diazinone, **phenoxy** fatty acid, allyloxyphenoxy, and cyclohexanedione compds. as active ingredients. Weeds are controlled by applying such compns. at 5-5000 g/ha. Thus, I (R1 = CHF2, R2 = Me, R3 = OCH2(CO)OMe, X = Br, (Y)2 = 2-F-4-Cl) at 2.5 g/ha + imazethapyr at 20 g/ha completely controlled Indian mallow (Abutilon theophrasti).
IT **81334-60-3D**, Imazmethapyr, mixts. with phenylimidazole deriv.
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(synergistic herbicides)
RN 81334-60-3 CAPLUS

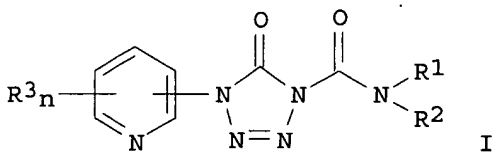
L7 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:231365 CAPLUS
DOCUMENT NUMBER: 124:289545
TITLE: Preparation of 1-pyridyl-4-carbamoyl-5(4H)-tetrazolinone herbicides
INVENTOR(S): Goto, Toshio; Moriya, Koichi; Maurer, Fritz; Ito, Seishi; Wada, Katsuaki; Ukawa, Kazuhiko; Watanabe, Ryo; Ito, Asami

09/ 761,995

PATENT ASSIGNEE(S): Nihon Bayer Agrochem K.K., Japan
SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 692482	A2	19960117	EP 1995-110131	19950629
EP 692482	A3	19960228		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 08081459	A2	19960326	JP 1995-31785	19950130
AU 9524855	A1	19960125	AU 1995-24855	19950705
US 5641727	A	19970624	US 1995-498736	19950706
CA 2153475	AA	19960113	CA 1995-2153475	19950707
ZA 9505742	A	19960220	ZA 1995-5742	19950711
BR 9503282	A	19960430	BR 1995-3282	19950712
CN 1121918	A	19960508	CN 1995-108922	19950712
CN 1047777	B	19991229		
US 5710278	A	19980120	US 1997-802152	19970219
CN 1224014	A	19990728	CN 1998-123073	19981207

PRIORITY APPLN. INFO.: JP 1994-181916 19940712
JP 1995-31785 19950130
US 1995-498736 19950703
OTHER SOURCE(S): MARPAT 124:289545
GI

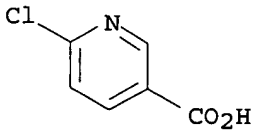


I

AB The title compds. [I; R1 = alkyl, haloalkyl, cycloalkyl, alkenyl, haloalkenyl, alkynyl, (un)substituted Ph; R2 = alkyl, haloalkyl, cycoalkyl, alkenyl, haloalkenyl, alkynyl, (un)substituted Ph; R3 = nitro, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkythio, **phenoxy**; n = 0-3; NR1R2 = 5- or 6-membered (un)substituted heterocyclyl], useful as herbicides, are prepd. and a I-contg. formulation presented. Thus, 1-(2-chloro-3-pyridyl)-5(4H)-tetrazolinone was condensed with diethylcarbamoyl chloride, producing herbicidal 1-(2-chloro-3-pyridyl)-4-(N,N-diethylcarbamoyl)-5(4H)-tetrazolinone.

IT **5326-23-8**
RL: RCT (Reactant)
(prepn. of 1-pyridyl-4-carbamoyl-5(4H)-tetrazolinone herbicides)

RN 5326-23-8 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-chloro- (9CI) (CA INDEX NAME)



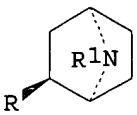
L7 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2002 ACS

09/ 761,995

ACCESSION NUMBER: 1995:763681 CAPLUS
DOCUMENT NUMBER: 123:169954
TITLE: Epi-epibatidine derivatives, a process and intermediates for preparing them and epi-epibatidine and medicaments containing the epi-epibatidine derivatives and/or epi-epibatidine and the use of them.
INVENTOR(S): Csaba, Szantay; Baloch Kardos, Zsuzsanna; Moldvai, Istvan; Temesvari Major, Eszter; Szantay, Csaba, Jr.; Mandi, Attila; Blasko, Gabor; Simig, Gyula; Lax, Gyorgy; et al.
PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 657455	A1	19950614	EP 1994-119481	19941209
R: AT, CH, DE, DK, GB, LI, NL, SE				
HU 69382	A2	19950928	HU 1993-3506	19931209
HU 69389	A2	19950928	HU 1993-3507	19931209
FR 2713641	A1	19950616	FR 1994-14632	19941206
FR 2713641	B1	19970411		
BE 1008622	A3	19960604	BE 1994-1109	19941206
CA 2137611	AA	19950610	CA 1994-2137611	19941208
JP 07291974	A2	19951107	JP 1994-306738	19941209
CN 1112118	A	19951122	CN 1994-119383	19941209
ES 2095186	A1	19970201	ES 1994-2520	19941209
ES 2095186	B1	19970901		

PRIORITY APPLN. INFO.: HU 1993-3506 19931209
HU 1993-3507 19931209
OTHER SOURCE(S): MARPAT 123:169954
GI



I

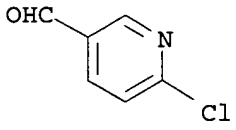
AB Epi-epibatidine derivs. I [R = C1-4-alkyl, C2-4-alkenyl, C2-4-alkynyl, C3-7-cycloalkyl, aryl, heteroaryl, aryl-C1-4-alkyl or heteroaryl-C1-4-alkyl group, said groups optionally being substituted by 1 or more C1-4-alkyl, C2-4-alkenyl, C2-4-alkynyl, C3-7-cycloalkyl, aryl, heteroaryl, aryl-C1-4-alkyl, heteroaryl-C1-4-alkyl, hydroxy, C1-4-alkoxy, **phenoxy**, halo, halo-C1-4-alkyl and/or amino, amido and/or sulfonamido substituent(s), optionally mono- or di-C1-4-alkyl-, -C2-4-alkenyl- and/or -C2-4-alkynyl substituted; R1 = H, C1-4-alkyl, C2-4-alkenyl, C2-4-alkynyl, C3-7-cycloalkyl, C3-7-cycloalkenyl, C3-7-cycloalkynyl, aryl-C1-4-alkyl, aryl, hetero-aryl, halo-C1-4-alkyl, hydroxy-C1-4-alkyl or, preferably C1-4-aliph.; arom. or heterocyclic, acyl group with the proviso that, if R1 stands for hydrogen, R is different from 6-(chloro)-pyrid-3-yl] as well as optically active forms and acid addn. salts thereof were prepd. Further aspects of the invention are concerned with a process and intermediates for prepn. these compds. as well as analgesic medicaments contg. them and their use. Thus, (.+-.)-1.alpha.-amino-2.beta.-(6-chloro-3-pyridyl)-4.beta.-

(methanesulfonyloxy)cyclohexane, prepd. from 6-chloro-3-pyridinecarboxaldehyde and (5-nitro-2-oxopentyl)triphenylphosphorane in 5 steps, was heated in toluene to give 46% (.+-.)-epiepibatidine.

IT **23100-12-1**, 6-Chloro-3-pyridinecarboxaldehyde
RL: RCT (Reactant)
(process and intermediates for prepn. of epiepibatidine and analgesic medicaments contg. them)

RN 23100-12-1 CAPLUS

CN 3-Pyridinecarboxaldehyde, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:455417 CAPLUS

DOCUMENT NUMBER: 123:256563

TITLE: Preparation and properties of 4-methyl-5H(1)benzopyrano[2,3-b]pyrid-5-one and 4-methyl-5H(1)benzothiopyrano[2,3-b]pyrid-5-one

AUTHOR(S): Weglinski, Zbigniew

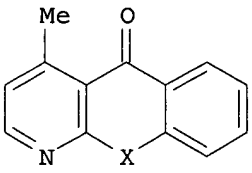
CORPORATE SOURCE: Akad. Ekon., Wroclaw, 53-345, Pol.

SOURCE: Pr. Nauk. Akad. Ekon. im. Oskara Langego Wroclawiu (1994), 675, 63-72
CODEN: PNAWDL; ISSN: 0324-8445

DOCUMENT TYPE: Journal

LANGUAGE: Polish

GI



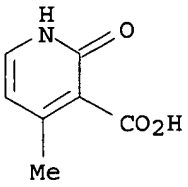
III

AB The prepns. of 4-methyl-2-phenoxynicotinic acid (I) and 4-methyl-2-(phenylthio)nicotinic acid (II) from 2-chloro-4-methylnicotinic acid were improved. I and II were used for the synthesis of the title compds. (III; X = O, S) by cyclization in polyphosphoric acid. The reactivity of the CO group in III was investigated.

IT **38076-81-2**, 2-Hydroxy-4-methylnicotinic acid
RL: RCT (Reactant)
(chlorination of)

RN 38076-81-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 1,2-dihydro-4-methyl-2-oxo- (9CI) (CA INDEX NAME)

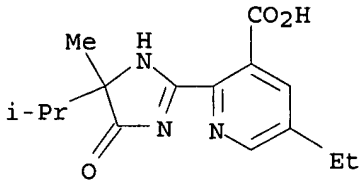


L7 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:598084 CAPLUS
DOCUMENT NUMBER: 121:198084
TITLE: Aquatic phytotoxicity of 23 pesticides applied at expected environmental concentrations
AUTHOR(S): Peterson, Hans G.; Boutin, Celine; Martin, Pamela A.; Freemark, Kathryn E.; Ruecker, Norma J.; Moody, Mary J.
CORPORATE SOURCE: Saskatchewan Research Council, 15 Innovation Boulevard, Saskatoon, Sask. S7N 2X8, Can.
SOURCE: Aquat. Toxicol. (1994), 28(3-4), 275-92
CODEN: AQTODG; ISSN: 0166-445X
DOCUMENT TYPE: Journal
LANGUAGE: English

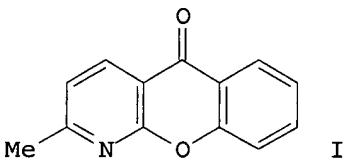
AB Environment Canada uses an expected environmental concn. (EEC) in evaluating the hazard of pesticides to nontarget aquatic organisms. This concn. is calcd. by assuming an overspray of a 15 cm deep waterbody at the label application rate. The EEC of pesticides is then related to the EC50 (concn. causing a 50% redn. in a chosen toxicity endpoint) for a given aquatic test organism. At present, the use of an uncertainty factor is suggested in the literature if only a few species are tested because of important interspecific differences in pesticide sensitivity. The phytotoxicity of the EEC of 23 different pesticides to ten algae (24 h inhibition of 14C uptake) and one vascular plant (7-day growth inhibition) was detd. in an effort to examine the question of interspecific sensitivity and its relation to the development of pesticide registration guidelines. Chems. included five triazine herbicides (atrazine, cyanazine, hexazinone, metribuzin, and simazine), four sulfonylurea herbicides (chlorsulfuron, metsulfuron-Me, ethametsulfuron-Me, triasulfuron), two **phenoxy**- alkane herbicides (2,4-D and MCPA), two pyridine herbicides (picloram and triclopyr), a substituted urea, an amine deriv., and an imidazolinone herbicide (tebuthiuron, glyphosate and imazethapyr, resp.), a bipyridylium (diquat), a hydroxybenxonitrile (bromoxynil), an aldehyde (acrolein) and an acetanilide (metolachlor) herbicide, as well as two carbamate insecticides (carbofuran and carbaryl) and a triazole deriv. fungicide (propiconazole). Test organisms were selected based on ecol. relevance and present use in test protocols. Organisms included green algae and a floating vascular plant, duckweed (Lemna minor). Through testing the phytotoxicity of a variety of agricultural pesticides to a wide range of algal taxa, it is evident that there are considerable differences in sensitivity among species and that the use of an uncertainty factor is necessary to provide an acceptable margin of safety in evaluating the hazard presented by these chems. to the aquatic environment.

IT **81335-77-5**, Imazethapyr
RL: PRP (Properties)
(phytotoxicity of, at expected environmental concns.)

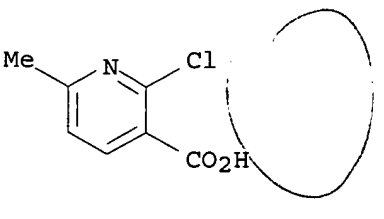
RN 81335-77-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-5-ethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:8485 CAPLUS
DOCUMENT NUMBER: 120:8485
TITLE: Preparation and some reactions of 2-**phenoxy**-6-methyl- and 6-**phenoxy**-5-methylnicotinic acids
AUTHOR(S): Weglinski, Zbigniew
CORPORATE SOURCE: Inst. Technol. Przemyslu Chem. Spozywczego, AE, Wroclaw, Pol.
SOURCE: Pr. Nauk. Akad. Ekon. im. Oskara Langego Wroclawiu (1992), 626, 183-92
CODEN: PNAWDL; ISSN: 0324-8445
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 120:8485
GI



AB Treating 2-chloro-5- or -6-methylnicotinic acid, resp., with phenol and NaOEt gave the title phenoxymethylnicotinic acids, which in turn were esterified with CH2N2 and oxidized with peracids. Cyclization of 2-**phenoxy**-6-methylnicotinic acid with POCl3 gave anthrone I. Properties and reactions of I are reported.
IT **30529-70-5**, 2-Chloro-6-methylnicotinic acid
RL: RCT (Reactant)
(etherification of, with phenol)
RN 30529-70-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-chloro-6-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 34 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:81446 CAPLUS
DOCUMENT NUMBER: 118:81446
TITLE: Preparation of N-(.alpha.-substituted-pyridinyl)carbonyl dipeptide antihypertensive agents
INVENTOR(S): Repolles Moliner, Jose; Pubill Coy, Francisco; Cabeza

09/ 761,995

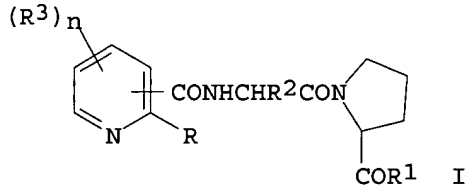
	Llorente, Lydia; Malet Falco, Carlos
PATENT ASSIGNEE(S):	Lacer S.A., Spain
SOURCE:	PCT Int. Appl., 41 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9215608	A1	19920917	WO 1992-EP400	19920226
W: AU, BR, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
EP 500989	A1	19920902	EP 1991-102950	19910227
EP 500989	B1	19981209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9212783	A1	19921006	AU 1992-12783	19920226
AU 650954	B2	19940707		
BR 9204779	A	19930817	BR 1992-4779	19920226
JP 05507295	T2	19931021	JP 1992-504931	19920226
PL 167915	B1	19951230	PL 1992-296625	19920226
RO 111369	B1	19960930	RO 1992-1349	19920226
NO 9204076	A	19921221	NO 1992-4076	19921021
RU 2098424	C1	19971210	RU 1992-16314	19921026

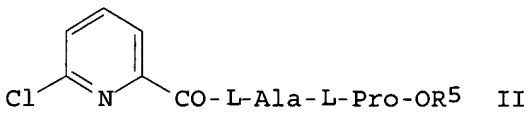
PRIORITY APPLN. INFO.:	EP 1991-102950	A	19910227
	WO 1992-EP400	A	19920226

OTHER SOURCE(S): MARPAT 118:81446

GI



I



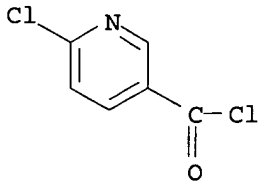
II

AB The prepn. of the title dipeptide derivs. I (n = 0-3; R = OH, SH, CO2H, NH2, halogen, OR4, SR4, CO2R4, NHR4, NR42, R4 = optionally substituted lower alkyl, aryl, or acyl; R1 = OH, optionally substituted lower alkoxy, aryl lower alkoxy, aryloxy, or disubstituted amino; R2 = lower alkyl, amino lower alkyl; R3 = halogen, NO2, lower alkyl, halo lower alkyl, aryl lower alkyl, aryl) and pharmaceutically acceptable salts thereof is described. Thus, reaction of 6 g H-L-Ala-L-Pro-OEt.HCl and 7.4 mL Et3N in 120 mL of anhyd. CH2Cl2 with 5.1 g 6-chloro-2-pyridinecarbonyl chloride for 3 h gave 99% II (R5 = Et), which was treated with ethanolic KOH to give 73% pyridinecarbonyl dipeptide II (R5 = H). Derivs. I are useful, among others, in the treatment of hypertension.

IT **58757-38-3**, 6-Chloro-3-pyridinecarbonyl chloride
RL: RCT (Reactant)
(amidation of, with alanylproline derivs., in prepn. of dipeptide antihypertensives)

RN 58757-38-3 CAPLUS

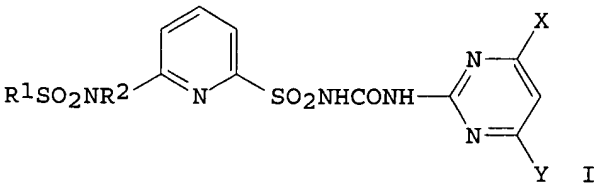
CN 3-Pyridinecarbonyl chloride, 6-chloro- (9CI) (CA INDEX NAME)



L7 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:612518 CAPLUS
DOCUMENT NUMBER: 117:212518
TITLE: Preparation of [(pyrimidinylureido)sulfonyl]pyridinesulfonamide herbicides
INVENTOR(S): Sakashita, Nobuyuki; Nakajima, Toshio; Murai, Shigeo; Yoshida, Tsunezo; Nakamura, Yugi; Honzawa, Shooichi
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 496608	A1	19920729	EP 1992-300564	19920123
EP 496608	B1	19950920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 05086055	A2	19930406	JP 1992-46433	19920120
BR 9200189	A	19921006	BR 1992-189	19920122
RO 109448	B1	19950228	RO 1992-6	19920122
AT 128131	E	19951015	AT 1992-300564	19920123
ES 2078651	T3	19951216	ES 1992-300564	19920123
RU 2054427	C1	19960220	RU 1992-5010744	19920123
CN 1064274	A	19920909	CN 1992-101031	19920124
CN 1038012	B	19980415		

PRIORITY APPLN. INFO.: JP 1991-85718 A 19910124
JP 1991-265553 A 19910712
OTHER SOURCE(S): MARPAT 117:212518
GI



AB Title compds. (R1, R2 = (substituted) alkyl, -alkenyl, -cycloalkyl, -Ph; R1R2 = (CH2)n group wherein n = 2-5; X, Y = alkyl, alkoxy). 2-Amino-6-(benzylthio)pyridine in THF was treated with KOH followed by EtSO2Cl to give N-6-(benzylthio)pyridin-2-yl]ethanesulfonamide which was N-ethylated to give N-[6-(benzylthio)pyridin-2-yl)-N-ethylethanesulfonamide. This was treated with Cl in aq. AcOH followed by reaction with NH3 to give the pyridinesulfonamide deriv. which was reacted with Ph (4,6-dimethoxypyrimidin-2-yl)carbamate to give I (R1 = R2 = Et, X

= Y = MeO) (II). At 1.25 g/are II gave complete control of crabgrass, and nearly complete control of cocklebur, morning glory, and barnyard grass. Addnl. I were prepd. and evaluated. I can be used with other herbicides such as Et (.+-.)-2-[4-[(6-chloro-2-quinoxalinyl)oxy]**phenoxy**]propionate to attain a synergistic effect (no data).

IT **143914-59-4**
RL: RCT (Reactant)
(herbicide contg.)

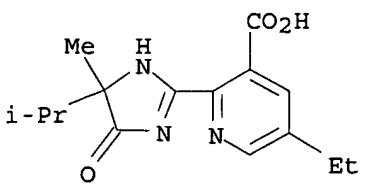
RN 143914-59-4 CAPLUS

L7 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:586606 CAPLUS
DOCUMENT NUMBER: 117:186606
TITLE: Heterotrophic plant cell suspension cultures for monitoring biological activity in agrochemical research. Comparison with screens using algae, germinating seeds and whole plants
AUTHOR(S): Grossmann, Klaus; Berghaus, Rainer; Retzlaff, Guenter
CORPORATE SOURCE: BASF Agric. Res. Stn., Limburgerhof, D-6703, Germany
SOURCE: Pestic. Sci. (1992), 35(3), 283-9
CODEN: PSSCBG; ISSN: 0031-613X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Heterotrophically cultured cell suspensions are used increasingly in agrochem. research for screening plant-growth retardants and herbicides which influence plant meristems. For this purpose, a large-scale microscreen has been devised, which permits the objective monitoring of cell division by measuring the cond. in cell suspensions cultured in test tubes. Comparing the effects of a wide spectrum of growth retardants and herbicides with different primary modes of action, the test was most sensitive to nitrogen-heterocyclic retardants in wheat-cell suspensions and to sulfonylurea > imidazolinone > cyclohexanedione, oxyphenoxypropionic acid, nitrile > glufosinate, **phenoxy** acid, bipyridylium and di-Ph ether herbicides in maize and oilseed rape cell cultures. Inhibitors of photosynthetic processes were only slightly active. The results of the tests were compared with the effects of the compds. on germinating seeds of cress (Lepidium sativum) and on photoautotrophic systems using algal cell suspensions (Scenedesmus acutus) and duckweeds (Lemma paucicostata). Heterotrophic cell suspensions, in combination with the series of biotests mentioned above, are a valuable complement to the whole-plant screens used routinely in industrial labs. They are particularly useful for identifying compds. whose biol. activity is masked by limited penetration or translocation behavior in whole plants.

IT **81335-77-5**, Imazethapyr
RL: BIOL (Biological study)
(monitoring of biol. activity of, heterotrophic plant cell suspension cultures for)

RN 81335-77-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-5-ethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:41102 CAPLUS
DOCUMENT NUMBER: 116:41102
TITLE: Preparation of arylcarboxylic-acid and sulfonic-acid amides as drugs
INVENTOR(S): Alig, Leo; Edenhofer, Albrecht; Mueller, Marcel; Trzeciak, Arnold; Weller, Thomas
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 381033	A1	19900808	EP 1990-101404	19900124
EP 381033	B1	19940323		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
US 5084466	A	19920128	US 1990-465858	19900116
HU 53070	A2	19900928	HU 1990-218	19900122
HU 206193	B	19920928		
CA 2008311	AA	19900731	CA 1990-2008311	19900123
ZA 9000510	A	19901031	ZA 1990-510	19900124
AT 103273	E	19940415	AT 1990-101404	19900124
ES 2050851	T3	19940601	ES 1990-101404	19900124
AU 9048817	A1	19900809	AU 1990-48817	19900125
AU 632086	B2	19921217		
CZ 277999	B6	19930317	CZ 1990-354	19900125
IL 93170	A1	19940530	IL 1990-93170	19900125
SK 277762	B6	19941207	SK 1990-354	19900125
NO 9000418	A	19900801	NO 1990-418	19900130
NO 172536	B	19930426		
NO 172536	C	19930804		
RU 2072986	C1	19970210	RU 1990-4742946	19900130
JP 02235853	A2	19900918	JP 1990-19361	19900131
JP 08005848	B4	19960124		
US 5256812	A	19931026	US 1991-755960	19910906
US 5399585	A	19950321	US 1993-114415	19930830

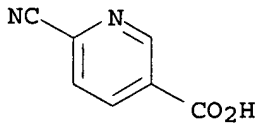
PRIORITY APPLN. INFO.:
CH 1989-326 19890131
CH 1989-4069 19891113
US 1990-465858 19900116
EP 1990-101404 19900124
US 1991-755960 19910906

OTHER SOURCE(S): MARPAT 116:41102

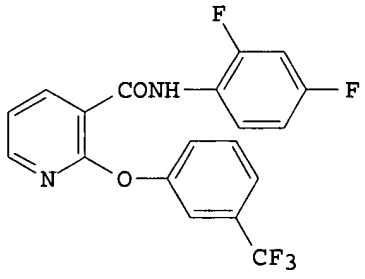
AB R1AWaX(CH2)bYcBZCO2R [R1 = amidino, guanidino; A, B = (substituted) phenylene, pyridinylene, thienylene; W = CH2, CH2CH2, CH:CH, CH:CHCH2, (CH2)3, CH2CHMe, COCH2, CH(OH)CH2, CH2COCH2; X = CONR2, SO2NR2; Y = CH2CH2, CH2CH2O, OCH2, CH:CH, CH2CH:CH, CH2, CH2COCH2, etc.; Z = OCH2, NR3CH2, CH2CH2, CHMeCH2, CH2, CH:CH, CMe:CH; R = H, alkyl, Ph, phenylalkyl; R2 = H, alkyl, (substituted) phenylalkyl, CH2CO2R, YBZCO2R; R3 = H, alkyl, PhCH2; a,b,c = 0-1] were prepd. Thus, a mixt. of 4-NCC6H4CO2H, 2-chloro-4,6-dimethoxy-1,3,5-triazine, N-methylmorpholine, and CH2Cl2 was stirred 3 h at room temp.; the mixt. was cooled to 0.degree. and Me 4-(2-aminoethyl)phenoxyacetate and N-methylmorpholine in CH2Cl2 were added. The mixt. was stirred overnight at room temp. to give Me 4-[2-(p-cyanobenzamido)ethyl]phenoxyacetate. This was treated successively with H2S in pyridine/Et3N, MeI in acetone, NH4OAc in MeOH, aq. NaOH, and 4-MeC6H4SO3H in H2O to give [p-[2-(p-amidinobenzamido)ethyl] **phenoxy**]acetic acid toluenesulfonate. The latter inhibited binding of fibrinogen to glycoprotein IIb/IIIa with an IC50 of 0.04 .mu.m.

IT **70165-31-0**

RL: RCT (Reactant)
(reaction of, in prepn. of cardiovascular agent and neoplasm inhibitor)
RN 70165-31-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-cyano- (9CI) (CA INDEX NAME)



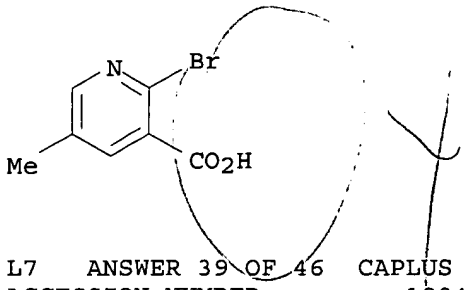
L7 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:402547 CAPLUS
DOCUMENT NUMBER: 107:2547
TITLE: Design and synthesis of N-(2,4-difluorophenyl)-2-(3-trifluoromethylphenoxy)-3-pyridinecarboxamide (diflufenican), a novel pre- and early post-emergence herbicide for use in winter cereals
AUTHOR(S): Cramp, Michael C.; Gilmour, James; Hatton, Leslie R.; Hewett, Richard H.; Nolan, Christopher J.; Parnell, Edgar W.
CORPORATE SOURCE: Ongar Res. Stn., May and Baker Ltd., Ongar/Essex, CM5 0HW, UK
SOURCE: Pestic. Sci. (1987), 18(1), 15-28
CODEN: PSSCBG; ISSN: 0031-613X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The pre- and early postemergence herbicidal activity of diflufenican (I) a novel herbicide, is reported and attention is drawn to its ability to control important weeds in winter cereals, including Galium aparine, Veronica hederifolia, Veronica persica and Viola arvensis, which are resistant to substituted-urea herbicides. The synthesis of a series of related compds. is described and the relation between structure and activities against a range of plant species is examd. in respect of changes in the Ph, **phenoxy** and pyridine rings. The design and synthesis of a small no. of compds. combining the best patterns of substitution in each of the rings is described. The resulting optimization of herbicidal activity in the series is reported, together with field trial results comparing the herbicidal efficacy, crop selectivity and soil persistence of the most active structures.
IT **65996-06-7P**, 2-Bromo-5-methyl-3-pyridine carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

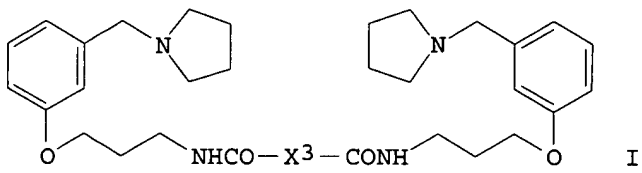
(prepn. and reaction of, with phenols)
RN 65996-06-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-bromo-5-methyl- (9CI) (CA INDEX NAME)



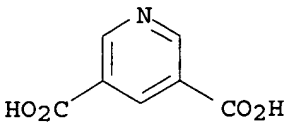
L7 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:423324 CAPLUS
DOCUMENT NUMBER: 101:23324
TITLE: Bis(carboxamide) derivatives
INVENTOR(S): Hirai, Kentaro
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4433154	A	19840221	US 1981-328444	19811207

OTHER SOURCE(S): CASREACT 101:23324
GI



AB Histamine H2 receptor antagonists and antipeptic ulcer agents R(CH2)mX(CH2)nNHCOX1CONH(CH2)qX2(CH2)pR1, (R, R1 = Ph, thiazolyl, thienyl, furyl substituted by dimethylaminomethyl, pyrrolidinomethyl, or guanidino; X, X2 = O, S; X1 = C2-4 alkylene, C2-4 alkenylene, CH2SCH2, phenylene; m, p = 0, 1; n, q = 2,3) were prepd. Thus, 3,4-furandicarboxylic acid was treated with 3-[3-(pyrrolidinomethyl)**phenoxy**]propylamine to give the biscarboxamide I (X3 = 3,4-furandiyl). The histamine H2 blocking PA2 of I (X3 = trans-HC:CH) was 7.27.
IT **499-81-0**
RL: RCT (Reactant)
(amidation of, with [(pyrrolidinomethyl)**phenoxy**]propylamine)
RN 499-81-0 CAPLUS
CN 3,5-Pyridinedicarboxylic acid (8CI, 9CI) (CA INDEX NAME)



L7 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:544757 CAPLUS
DOCUMENT NUMBER: 97:144757
TITLE: Bis(carboxamides)
INVENTOR(S): Hirai, K.
PATENT ASSIGNEE(S): Shionogi and Co., Ltd. , Japan
SOURCE: Belg., 42 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

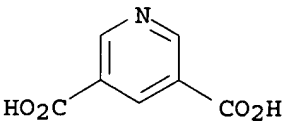
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 891513	A1	19820416	BE 1981-206861	19811217
FR 2500832	A1	19820903	FR 1981-23503	19811216
FR 2500832	B1	19840504		
AU 8178671	A1	19820624	AU 1981-78671	19811218
AU 544527	B2	19850606		
GB 2090253	A	19820707	GB 1981-38303	19811218
GB 2090253	B2	19840926		
DE 3150334	A1	19820715	DE 1981-3150334	19811218
CH 648824	A	19850415	CH 1981-8164	19811221

PRIORITY APPLN. INFO.: JP 1980-180798 19801219

AB Diamides R(CH2)nZ1(CH2)mNHCOZCONH(CH2)pZ2(CH2)rR1 [COZCO = dicarboxylic acid residue; R and R1 (same or different) are aryl, heteroaryl, alkylheteroaryl, (guanidino)heteroaryl, (aminoalkyl)heteroaryl; Z1 and Z2 each O, S, CH2; n and r each are 0, 1; m and p each are 1-4], which were prepd., showed antihistaminic activity. Thus, ClCOCH2CH2COCl was heated with 3-[3-(1-pyrrolidinylmethyl)**phenoxy**]propylamine and Et3N to give the sym. succinamide.

IT **499-81-0**
RL: RCT (Reactant)
(amidation of)

RN 499-81-0 CAPLUS
CN 3,5-Pyridinedicarboxylic acid (8CI, 9CI) (CA INDEX NAME)



L7 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:6589 CAPLUS
DOCUMENT NUMBER: 96:6589
TITLE: 2-Halopyridines and their pharmaceutical compositions
INVENTOR(S): Matas Docampo, Ricardo; Puigmarti Codina, Jose M.; Repolles Moliner, Jose; Serra Sola, Jorge
PATENT ASSIGNEE(S): Lacer S. A., Spain

09/ 761,995

SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 32516	A1	19810729	EP 1980-100207	19800116
EP 32516	B1	19840502		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 7295	E	19840515	AT 1980-100207	19800116
ES 498508	A1	19811116	ES 1981-498508	19810114
ES 498509	A1	19811116	ES 1981-498509	19810114
ES 498510	A1	19811116	ES 1981-498510	19810114
ES 498507	A1	19820801	ES 1981-498507	19810114
US 4614833	A	19860930	US 1981-225019	19810114
JP 56120668	A2	19810922	JP 1981-5825	19810116
US 4736037	A	19880405	US 1986-878579	19860626

PRIORITY APPLN. INFO.: EP 1980-100207 19800116
US 1981-225019 19810114

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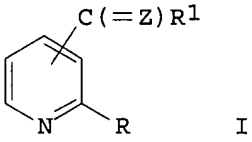


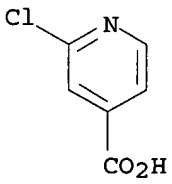
I

AB Pyridine derivs. I [R = Cl, Br; Z = O, (H, OH); R1 = Ph, alkyl, alkoxy, **phenoxy**, alkylthio, halo, hydroxy, or phenylphenyl] were prepd. by different methods and they exhibited analgesic activity. A mixt. of 2-chloronicotinoyl chloride, C6H6, and AlCl3 was refluxed 2h to give 3-benzoyl-2-chloropyridine.

IT **6313-54-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with thionyl chloride)

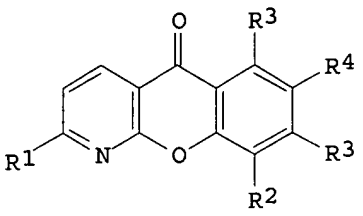
RN 6313-54-8 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-chloro- (9CI) (CA INDEX NAME)

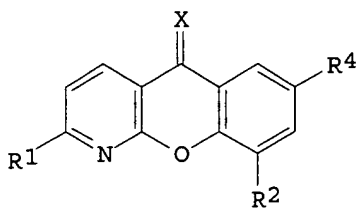


L7 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:50686 CAPLUS
DOCUMENT NUMBER: 88:50686
TITLE: Synthesis of 5H-[1]benzopyrano[2,3-b]pyridine derivatives
AUTHOR(S): Nantka-Namirski, Pawel; Piechaczek, Janina; Wrotek, Jerzy
CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, Pol.

SOURCE: Acta Pol. Pharm. (1977), 34(1), 1-7
CODEN: APPHAX
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI

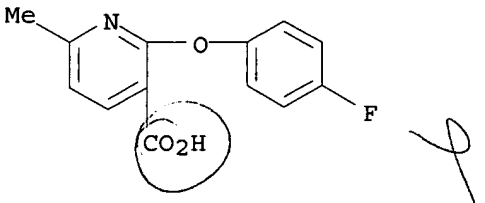


I



II

AB Thirteen benzopyranopyridine derivs. I (R1 = H, Me; R2 = H, Cl, Br, Me, OMe; R3 = H, Br, Me; R4 = H, F, Cl, Br, Me, Ph) were prepd. by cyclization of appropriately substituted 2-phenoxynicotinic acids in polyphosphoric acid at 150.degree.. Addn. of Grignard compds. across the C=O bond in I yielded the corresponding tertiary alcs. which were dehydrated with AcCl in CHCl3 or AcOH to give II (X = Me2N(CH2)2CH, 3-morpholinopropylidene, 1-methyl-4-piperidylideno). II were potential central nervous system drugs.
IT **54530-66-4**
RL: RCT (Reactant)
(cyclization of, benzopyranopyridine deriv. from)
RN 54530-66-4 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-(4-fluorophenoxy)-6-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:135479 CAPLUS
DOCUMENT NUMBER: 84:135479
TITLE: Cyclic substituted derivatives of 1-amino-2-propanol
INVENTOR(S): Jaeggi, Knut; Ostermayer, Franz; Schroeter, Herbert
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 131 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2520910	A1	19751204	DE 1975-2520910	19750510
CH 591448	A	19770915	CH 1974-6582	19740514
CH 594626	A	19780113	CH 1974-6618	19740514

SE 7504375	A	19751117	SE 1975-4375	19750416
NL 7504864	A	19751118	NL 1975-4864	19750424
GB 1493006	A	19771123	GB 1975-18491	19750502
US 4027027	A	19770531	US 1975-574785	19750505
FR 2270863	A1	19751212	FR 1975-14655	19750512
FR 2270863	B1	19790518		
AU 7581045	A1	19761118	AU 1975-81045	19750512
CA 1067077	A1	19791127	CA 1975-226694	19750512
BE 828989	A1	19751113	BE 1975-156276	19750513
DK 7502098	A	19751115	DK 1975-2098	19750513
HU 172769	P	19781228	HU 1975-CI1575	19750513
JP 50154213	A2	19751212	JP 1975-56214	19750514
CH 596182	A	19780315	CH 1977-1454	19770207
US 4139623	A	19790213	US 1977-777222	19770314

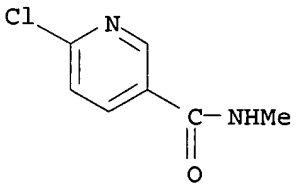
PRIORITY APPLN. INFO.: CH 1974-6582 19740514
CH 1974-6618 19740514
US 1975-574785 19750505

AB Twenty-eight title compds. ROQNHCH2CH(OH)CH2OR1 [I; R = Ph, substituted phenyl, or substituted or unsubstituted pyridyl, pyrimidinyl or pyrazinyl; R1 has same significance as R, but when R = Ph or substituted phenyl, R1 = heterocyclyl, and vice versa; Q = (CH2)2, (CH2)3, CH2CHMe, or CH2CMe2] and/or their hydrochloride or fumarate salts were prepd.; I arrested isoprotenol-induced tachycardia in isolated dog hearts and lowered blood pressure in cats and rats. Thus, (PhCH2)2NCH2CH2OH with 6-chloronicotinamide gave 6-[2-(dibenzylamino)ethyl]nicotinamide, which was partially debenzylated, reacted with 1,2-epoxy-3-(o-tolyloxy)propane, then further debenzylated by hydrogenation to give I [R = 5-carbamoyl-2-pyridyl, R1 = 2-MeC6H4, Q = (CH2)2].

IT **54189-82-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with (dibenzylamino)ethanol)

RN 54189-82-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:57664 CAPLUS
DOCUMENT NUMBER: 82:57664
TITLE: 5H-[1]-Benzopyrane[2,3-b[pyridin]-5-ones
INVENTOR(S): Nantka-Namirski, Pawel; Piechaczek, Janina; Wrotek, Jerzy
PATENT ASSIGNEE(S): Instytut Przemyslu Farmaceutycznego
SOURCE: Pol., 3 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 70164	B	19740228	PL 1972-155649	19720529

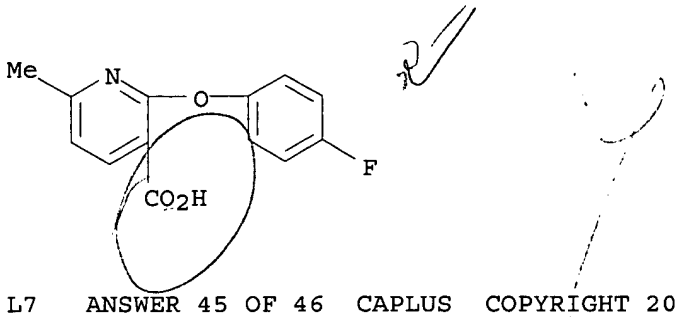
GI For diagram(s), see printed CA Issue.

AB Pyrido-benzopyranones I (R = H, lower alkyl; R1, R2, R3, and R4 = H, halogen, lower alkyl, alkoxy, aryl) were prepd. by cyclizing 2-phenoxynicotinic acids II in polyphosphoric acid. Thus, 2.5 g II (R = Me, R1 = R3 = R4 = H, R2 = F) was heated with 15 g P2O5 and 9 ml 85% H3PO4, dild. with H2O, and neutralized with 40% NaOH to give 91% I (R = Me, R1 = R3 = R4 = H, R2 = F).

IT **54530-66-4**
RL: RCT (Reactant)
(cyclization of)

RN 54530-66-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(4-fluorophenoxy)-6-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1975:57528 CAPLUS

DOCUMENT NUMBER: 82:57528

TITLE: Nicotinic acid derivatives. VI. Transformations of 2-chloro-6-methylnicotinic acid

AUTHOR(S): Nantka-Namirski, Pawel; Piechaczek, Janina

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, Pol.

SOURCE: Pol. J. Pharmacol. Pharm. (1974), 26(5), 545-8
CODEN: PJPPAA

DOCUMENT TYPE: Journal

LANGUAGE: English

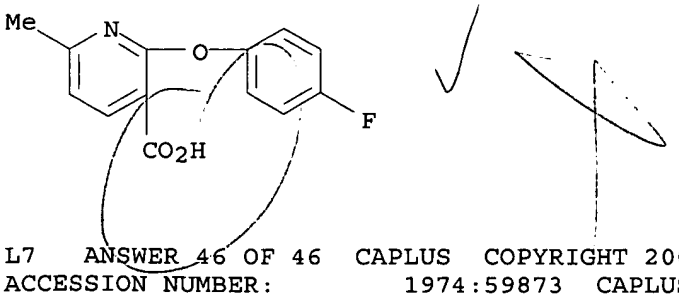
GI For diagram(s), see printed CA Issue.

AB 2-**Phenoxy**-6-methylnicotinic acids I (R = OPh, OC6H4Br-2, OC6H4F-4) were prepd. in 63-75% yield by treating I (R = Cl) with the phenol. The anilinonicotinic acids I [R = PhNH, 4-ClC6H4NH, 2-, 4-MeOC6H4NH, 3-CF3C6H4NH, 2,4-Cl(O2N)C6H3NH] were prepd. in 11-65% yield from I (R = Cl) and the aniline.

IT **54530-66-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 54530-66-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(4-fluorophenoxy)-6-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1974:59873 CAPLUS

DOCUMENT NUMBER: 80:59873

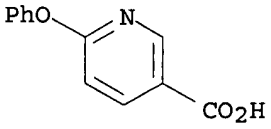
TITLE: Antiinflammatory, antirheumatic, analgesic, and antipyretic substituted acetic acid derivatives and their alkali metal and alkaline earth metal salts

09/ 761,995

INVENTOR(S): Maeda, Ryozo; Hirose, Katsumi
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2324474	A1	19731129	DE 1973-2324474	19730515
JP 49011885	A2	19740201	JP 1972-48371	19720515
JP 55017027	B4	19800508		

PRIORITY APPLN. INFO.: JP 1972-48371 19720515
GI For diagram(s), see printed CA Issue.
AB Antiinflammatory phenoxypyridineacetic acids, 2-(phenoxypyridyl)propionic acids, pyridyloxyphenylacetic acids, and 2-(pyridyloxyphenyl)propionic acids (.apprx.100 compds.) were prepd. Thus I (R = H) was obtained by treating 2-**phenoxy**-5-ethoxycarbonylethylisonicotinic acid with SOCl2, treating the acid chloride with nitromethylurea, hydrolyzing the 2-**phenoxy**-4-diazoacetyl-5-ethoxycarbonylethylpyridine to I (R = Et) and then to I (R = H). 2-(2-p-Chlorophenoxy-5-pyridyl)propionic acid had an ED50 against rat paw edema of 6.5 mg/kg orally.
IT **51362-38-0**
RL: RCT (Reactant)
(reaction of, with thionyl chloride)
RN 51362-38-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-phenoxy- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 10:12:50 ON 26 APR 2002)

FILE 'REGISTRY' ENTERED AT 10:12:58 ON 26 APR 2002
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 15972 S NICOTINAMID? OR NICOTINIC
L4 2574 S L1 SUB=L3 FULL

FILE 'CAPLUS' ENTERED AT 10:15:04 ON 26 APR 2002
L5 6284 S L4
L6 266 S L4/THU
L7 46 S L5 AND PHENOXY

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-28.50	-28.50

09/ 761,995

STN INTERNATIONAL LOGOFF AT 10:16:54 ON 26 APR 2002